

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1626gms

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAPLUS
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEADLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	12	APR 26	PROMT: New display field available
NEWS	13	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	14	APR 26	LITALERT now available on STN
NEWS	15	APR 27	NLDB: New search and display fields available
NEWS	16	May 10	PROUSDDR now available on STN
NEWS	17	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS	18	May 12	EXTEND option available in structure searching
NEWS	19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	20	May 17	FRFULL now available on STN
NEWS	21	May 27	STN User Update to be held June 7 and June 8 at the SLA 2004 Conference
NEWS	22	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAPLUS
NEWS	23	May 27	CAPLUS super roles and document types searchable in REGISTRY
NEWS	24	May 27	Explore APOLLIT with free connect time in June 2004
NEWS EXPRESS			MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:15:52 ON 17 JUN 2004

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:16:09 ON 17 JUN 2004

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STRUCTURE FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7

DICTIONARY FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

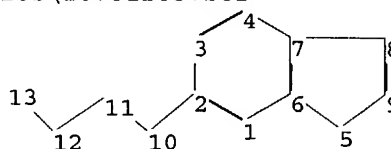
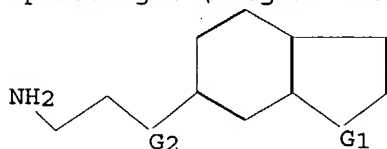
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10761265.str



chain nodes :

10761265

```

10 11 12 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-10 10-11 11-12 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
2-10 5-6 5-9 7-8 8-9 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :
```

G1:O,S,CH2,NH

G2:O,S,CH2,NH

Match level :

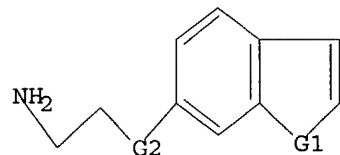
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,S,CH2,NH

G2 O,S,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:16:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13299 TO ITERATE

7.5% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 259075 TO 272885
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

10761265

=> s ll sss full
FULL SEARCH INITIATED 12:16:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 262770 TO ITERATE

100.0% PROCESSED 262770 ITERATIONS
SEARCH TIME: 00.00.03

87 ANSWERS

L3 87 SEA SSS FUL L1

	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 12:16:47 ON 17 JUN 2004
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FILE COVERS 1907 - 17 Jun 2004 VOL 140 ISS 25
FILE LAST UPDATED: 16 Jun 2004 (20040616/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 22 L3

	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	1.31	156.94

FILE 'REGISTRY' ENTERED AT 12:18:25 ON 17 JUN 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7
DICTIONARY FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when

10761265

conducting SmartSELECT searches.

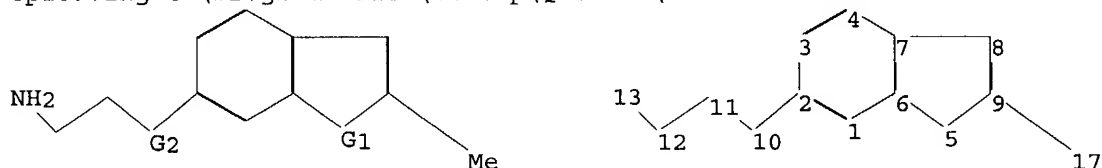
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10761265a.str



chain nodes :

10 11 12 13 17

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

2-10 9-17 10-11 11-12 12-13

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9

exact/norm bonds :

2-10 5-6 5-9 7-8 8-9 9-17 10-11 11-12 12-13

normalized bonds :

1-2 1-6 2-3 3-4 4-7 6-7

isolated ring systems :

containing 1 :

G1:O,S,CH2,NH

G2:O,S,CH2,NH

Match level :

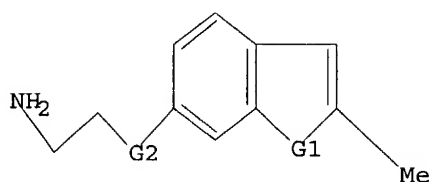
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 17:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



G1 O, S, CH2, NH

G2 O, S, CH2, NH

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 12:19:12 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 7380 TO ITERATE

13.6% PROCESSED 1000 ITERATIONS 0 ANSWERS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 142452 TO 152748
 PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 sss full

FULL SEARCH INITIATED 12:19:21 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 144501 TO ITERATE

100.0% PROCESSED 144501 ITERATIONS 14 ANSWERS
 SEARCH TIME: 00.00.02

L7 14 SEA SSS FUL L5

=> FIL CAPLUS

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	155.84	312.78

FILE 'CAPLUS' ENTERED AT 12:19:28 ON 17 JUN 2004
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10761265

FILE COVERS 1907 - 17 Jun 2004 VOL 140 ISS 25
FILE LAST UPDATED: 16 Jun 2004 (20040616/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s 17
L8

4 L7

=> FIL REGISTRY
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.88	313.66

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:20:51 ON 17 JUN 2004
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STRUCTURE FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7
DICTIONARY FILE UPDATES: 16 JUN 2004 HIGHEST RN 694434-66-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

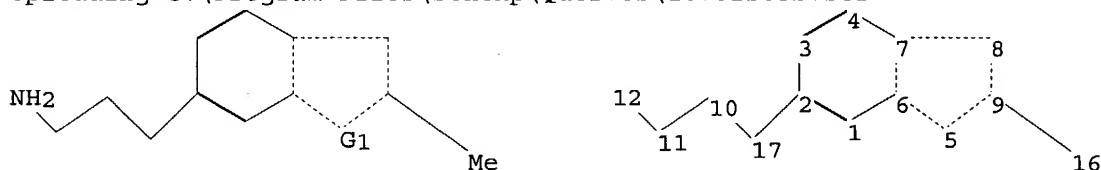
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10761265b.str



chain nodes :

10 11 12 16 17

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

2-17 9-16 10-11 10-17 11-12

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9

exact/norm bonds :

1-2 1-6 2-3 2-17 3-4 4-7 5-6 5-9 6-7 7-8 8-9 9-16 10-11 10-17 11-12

isolated ring systems :

10761265

containing 1 :

G1:O,S,CH2,NH

G2:O,S,CH2,NH

Match level :

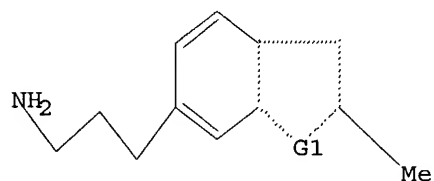
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 16:CLASS 17:CLASS

L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



G1 O,S,CH2,NH

G2 O,S,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 12:21:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5600 TO ITERATE

17.9% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 107514 TO 116486
PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

=> s 19 sss full

FULL SEARCH INITIATED 12:21:21 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 110001 TO ITERATE

100.0% PROCESSED 110001 ITERATIONS
SEARCH TIME: 00.00.02

0 ANSWERS

L11 0 SEA SSS FUL L9

=> d his

10761265

06/17/2004

(FILE 'HOME' ENTERED AT 12:15:52 ON 17 JUN 2004)

FILE 'REGISTRY' ENTERED AT 12:16:09 ON 17 JUN 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 87 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:16:47 ON 17 JUN 2004

L4 22 S L3

FILE 'REGISTRY' ENTERED AT 12:18:25 ON 17 JUN 2004

L5 STRUCTURE UPLOADED

L6 0 S L5

L7 14 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:19:28 ON 17 JUN 2004

L8 4 S L7

FILE 'REGISTRY' ENTERED AT 12:20:51 ON 17 JUN 2004

L9 STRUCTURE UPLOADED

L10 0 S L9

L11 0 S L9 SSS FULL

=> s l4 and py<=2000

'2000' NOT A VALID FIELD CODE

0 PY<=2000

L12 0 L4 AND PY<=2000

=> s l4 and thu

8 THU

13 THUS

21 THU

(THU OR THUS)

L13 0 L4 AND THU

=> d l4 ibib abs hitstr tot

YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:827455 CAPLUS

DOCUMENT NUMBER: 137:337773

TITLE: Immunosuppressant benzothiophene derivatives

INVENTOR(S): Nishi, Takehide; Shiroshima, Takaaki; Shimozato, Ryuichi; Nara, Futoshi

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 67 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

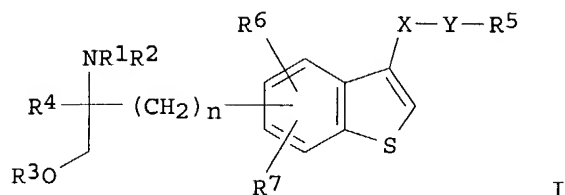
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002316985	A2	20021031	JP 2001-122867	20010420
PRIORITY APPLN. INFO.:			JP 2001-122867	20010420
OTHER SOURCE(S):		MARPAT 137:337773		

10761265

GI



AB The derivs. I [R1, R2 = H, amino-protecting group; R3 = H, hydroxy-protecting group; R4 = lower alkyl; n = 1-6; X = CH₂CH₂, CH:CH, C.tplbond.C, DCH₂ (D = CO, CHO, O, S, N), aryl which may be substituted with ≥1 selected from (a) (definition given); Y = direct bond, C1-10 alkylene which may be substituted with ≥1 selected from (a) and (b) (definition given) and/or contain O or S in the chain; R5 = H, cycloalkyl, aryl, heterocyclyl, which may be substituted with ≥1 selected from (a) and (b); R6, R7 = H, any group selected from (a); if R5 = H, then Y = any group other than direct bond, n-C1-10 alkylene], their pharmacol. acceptable salts, their esters, and their derivs. show low cytotoxicity and are useful as immunosuppressants. Preparation of (2R)-amino-4-[3-(4-cyclohexyloxybut-1-ynyl)benzo[b]thiophen-6-yl]-2-methylbutan-1-ol was given. I showed high suppressive activity on host vs. graft reaction in rats.

IT 474026-29-4P 474026-34-1P 474026-35-2P
474026-36-3P 474026-37-4P

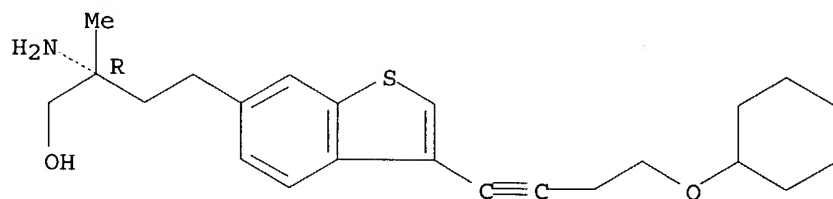
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of immunosuppressant benzothiophene derivs. with low toxicity)

RN 474026-29-4 CAPLUS

CN Benzo[b]thiophene-6-butanol, β-amino-3-[4-(cyclohexyloxy)-1-butynyl]-β-methyl-, (βR)- (9CI) (CA INDEX NAME)

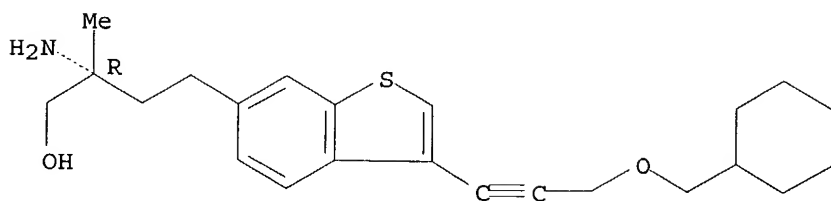
Absolute stereochemistry.



RN 474026-34-1 CAPLUS

CN Benzo[b]thiophene-6-butanol, β-amino-3-[3-(cyclohexylmethoxy)-1-propynyl]-β-methyl-, (βR)- (9CI) (CA INDEX NAME)

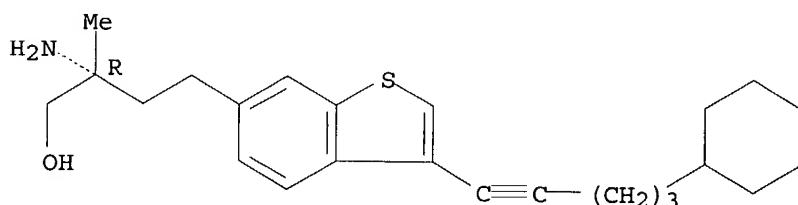
Absolute stereochemistry.



RN 474026-35-2 CAPLUS

CN Benzo[b]thiophene-6-butanol, β -amino-3-(5-cyclohexyl-1-pentynyl)- β -methyl-, (BR)- (9CI) (CA INDEX NAME)

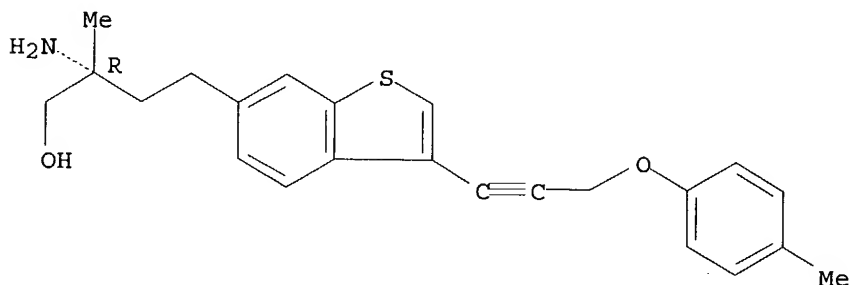
Absolute stereochemistry.



RN 474026-36-3 CAPLUS

CN Benzo[b]thiophene-6-butanol, β -amino- β -methyl-3-[3-(4-methylphenoxy)-1-propynyl]-, (BR)- (9CI) (CA INDEX NAME)

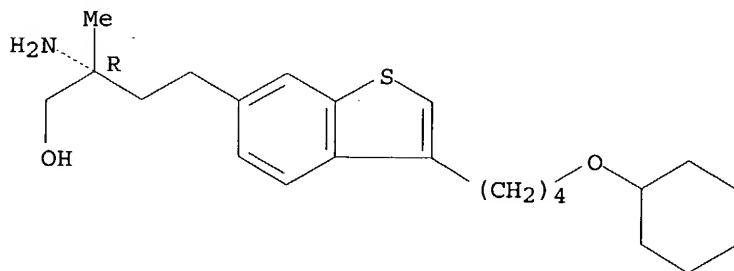
Absolute stereochemistry.



RN 474026-37-4 CAPLUS

CN Benzo[b]thiophene-6-butanol, β -amino-3-[4-(cyclohexyloxy)butyl]- β -methyl-, (BR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:353447 CAPLUS

DOCUMENT NUMBER: 136:369719

TITLE: Preparation of cholesterol lowering benzo[b]thiophenyl
and benzo[d]isothiazolyl alkylaminesINVENTOR(S): Aebi, Johannes; Ackermann, Jean; Dehmlow, Henrietta;
Maerki, Hans-Peter; Morand, Olivier

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

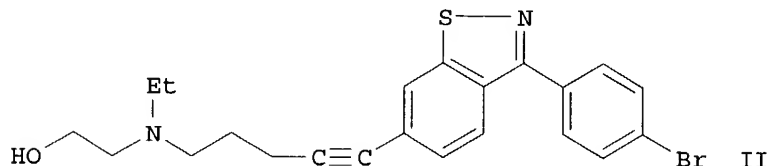
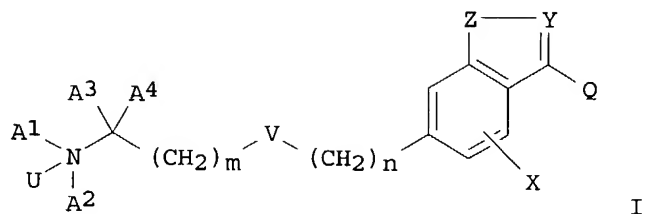
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036584	A1	20020510	WO 2001-EP12451	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014029	A5	20020515	AU 2002-14029	20011026
BR 2001015075	A	20030729	BR 2001-15075	20011026
EP 1334094	A1	20030813	EP 2001-982445	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513124	T2	20040430	JP 2002-539343	20011026
US 2002086891	A1	20020704	US 2001-999424	20011031
PRIORITY APPLN. INFO.:			EP 2000-123826	A 20001102
			WO 2001-EP12451	W 20011026
OTHER SOURCE(S):			MARPAT 136:369719	
GI				

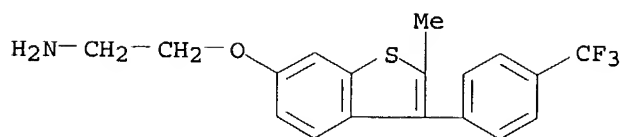


AB Title compds. I [wherein U = O or a lone pair; A1 = H or (un)substituted alkyl or alkenyl; A2 = cycloalkylalkyl, alkenyl, alkynyl, heteroaryl, or (un)substituted alkyl; or NA1A2 = (un)substituted heterocyclyl; A3 and A4 = independently H or alkyl; or CA3A4 = (un)substituted cycloalkyl; V = CH:CH and m and n = 0; or V = CH2 and m+n ≤ 2; or V = O or NR2 and m = 1-6 and n = 1-6 and m+n ≤ 7 or m = 1-3 and n = 0; or V = S and m = 1-7 and n = 0-6 and m+n ≤ 7; or V = C.tplbond.C and m = 0-7 and n = 0-7 and m+n ≤ 7; X = H, halo, or alkyl; Y = N or CR1; Z = S or SO2; Q = cycloalkyl(alkyl) or (un)substituted Ph; and pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof] were prepared as 2,3-oxidosqualene-lanosterol cyclase (OSC) inhibitors. For example, trifluoromethanesulfonic acid 3-(4-bromophenyl)benzo[d]isothiazol-6-yl ester (prepared in a multi-step synthesis starting from 4-bromobenzoyl chloride, 3-fluoroanisole, and benzyl mercaptan) was coupled with 4-pentyn-1-ol using PdCl2(PPh3)2 and TEA in THF (72%). The alc. was converted to the methanesulfonate and aminated with 2-(ethylamino)ethanol to afford II. Preferred compds. of the invention inhibited human liver microsomal OSC with IC50 values of 1 nM to 10 μM. I are useful for the treatment and/or prophylaxis of hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycoses, parasite infections, gallstones, tumors and/or hyperproliferative disorders, and treatment and/or prophylaxis of impaired glucose tolerance, and diabetes (no data).

IT 423163-76-2P, [2-[[2-Methyl-3-(4-trifluoromethylphenyl)benzo[b]thiophen-6-yl]oxy]ethyl]amine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (cholesterol lowering agent; preparation of cholesterol lowering benzo[b]thiophenyl and benzo[d]isothiazolyl alkylamines with OSC inhibiting activity)

RN 423163-76-2 CAPLUS

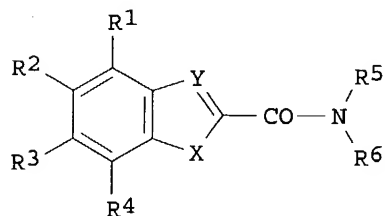
CN Ethanamine, 2-[[2-methyl-3-[4-(trifluoromethyl)phenyl]benzo[b]thien-6-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:332162 CAPLUS
 DOCUMENT NUMBER: 136:355158
 TITLE: Preparation of carboxamides as NMDA receptor antagonists
 INVENTOR(S): Horvath, Csilla; Farkas, Sandor; Domany, Gyoergy; Borza, Istvan; Bartane Szalai, Gizella; Nagy, Jozsef; Kolok, Sandor
 PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.
 SOURCE: PCT Int. Appl., 63 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034718	A1	20020502	WO 2001-HU99	20011015
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010782	A5	20020506	AU 2002-10782	20011015
EP 1328514	A1	20030723	EP 2001-978687	20011015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004512324	T2	20040422	JP 2002-537711	20011015
US 2003199552	A1	20031023	US 2003-412977	20030411
PRIORITY APPLN. INFO.:			HU 2000-4123	A 20001024
			WO 2001-HU99	W 20011015
OTHER SOURCE(S):			MARPAT 136:355158	
GI				



I

06/17/2004

AB The title compds. [I; R1-R4 = H, halo, OH, etc.; two of neighboring R1-R4 groups together with one or more identical or different addnl. heteroatom and CH and/or CH2 groups can form 4-7 membered homo- or heterocyclic ring; one of R5 and R6 = H and the other = phenylcyclohexyl, alkyl; or NR5R6 = (un)substituted (un)saturated 4-6 membered heterocyclic ring; X, Y = O, N, S, CH, etc.] were prepared and formulated. Thus, reacting 5-hydroxyindole-2-carboxylic acid with 4-benzylpiperidine in the presence of Et3N and HBTU in MeCN afforded 31% I [X = NH; Y = CH; R1, R3, R4 = H; R2 = OH; NR5R6 = 4-benzylpiperidin-1-yl] which showed IC50 of 0.024 μ M in NMDA receptor assay. The compds. I are highly effective and selective antagonists of NMDA receptor, and moreover most of the compds. I are selective antagonist of NR2B subtype of NMDA receptor.

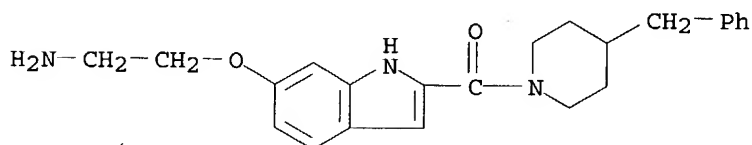
IT 420136-15-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxamides as NMDA receptor antagonists)

RN 420136-15-8 CAPLUS

CN Piperidine, 1-[[6-(2-aminoethoxy)-1H-indol-2-yl]carbonyl]-4-(phenylmethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:816638 CAPLUS

DOCUMENT NUMBER: 135:357839

TITLE: Preparation of bicyclic compounds such as benzofuran, indole, benzothiofuran, and indene derivatives of phenylephanolamine as β adrenoreceptor agonists

INVENTOR(S): Ikuta, Shunichi; Miyoshi, Shiro; Ogawa, Kohei

PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

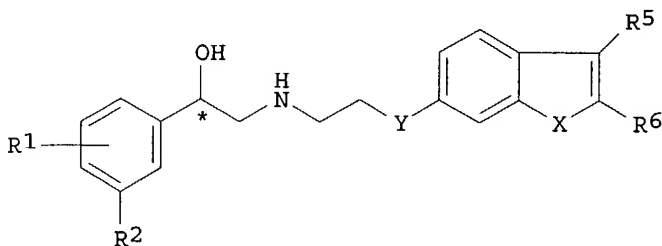
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083451	A1	20011108	WO 2001-JP3575	20010425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				

06/17/2004

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 AU 2001052574 A5 20011112 AU 2001-52574 20010425
 EP 1277736 A1 20030122 EP 2001-925911 20010425
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2003191174 A1 20031009 US 2002-258817 20021028
 PRIORITY APPLN. INFO.: JP 2000-130414 A 20000428
 WO 2001-JP3575 W 20010425
 OTHER SOURCE(S): MARPAT 135:357839
 GI



AB Compds. of the general formula (I) or salts thereof [wherein R1 is hydrogen, hydroxy, or halo; R2 is NHSO2R3, SO2NR4R4' (wherein R3 is C1-6 alkyl, benzyl, Ph, or NR4R4'; R4, R4' = H or C1-6 alkyl); R5 and R6 are each independently hydrogen, C1-6 alkyl, optionally substituted Ph, or benzyl; X is NH, sulfur, oxygen, or methylene; Y is oxygen, NR7, sulfur, methylene, or a bond (wherein R7 is H, C1-6 alkyl, or C1-6 acyl); and * represents an asym. carbon atom.] are prepared. These compds. exhibit a potent and selective stimulating activity for human β_3 adrenoreceptor with very little effect on increasing heart beat of guinea pigs and are useful as preventive and therapeutic drugs for diabetes, obesity, hyperlipidemia, digestive system diseases, depression, and urinary disorders. Thus, N-(3-bromoacetylphenyl)methanesulfonamide, 2-(2,3-dimethyl-1H-indol-6-yloxy)ethylamine, and Et3N were added to DMF, stirred at room temperature for 1 h, treated with a solution of NaBH4 in ethanol, and stirred at room temperature for 5 h to give, after purification on a reversed

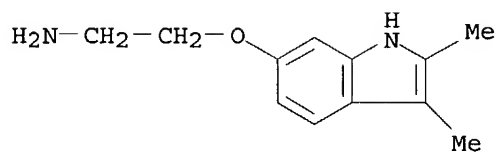
phase column, N-[3-[2-[[2-(2,3-dimethyl-1H-indol-6-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]methanesulfonamide trifluoroacetate salt (II). II was as potent as isoproterenol for stimulating the production of cAMP in CHO cell line expressing human β_3 adrenoreceptor (Ed50 of 8.7 nM).

IT 372093-05-5P, 2-(2,3-Dimethyl-1H-indol-6-yloxy)ethylamine
 372093-76-0P, 6-(2-Aminoethoxy)-3-methyl-2-phenyl-1H-indole
 372093-81-7P, 6-(2-Aminoethoxy)-2,3-diphenyl-1H-indole
 372094-15-0P, 6-(2-Aminoethoxy)-2,3-dimethylbenzofuran
 hydrobromide 372094-24-1P, 6-(2-Aminoethoxy)-2,3-dimethylbenzothiophene hydrobromide 372094-69-4P
 372094-72-9P, 2-(2,3-Dimethylbenzofuran-6-yloxy)ethylamine
 372094-77-4P, 2-(2,3-Dimethylbenzothiophen-6-yloxy)ethylamine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic compds. such as benzofuran, indole, benzothiofuran, and indoline derivs. of phenylethanolamine as β adrenoreceptor agonists and preventive and therapeutic drugs)

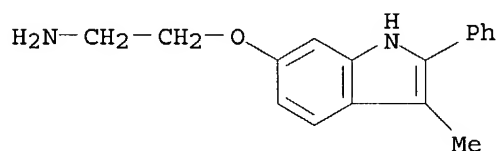
RN 372093-05-5 CAPLUS

CN Ethanamine, 2-[(2,3-dimethyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)



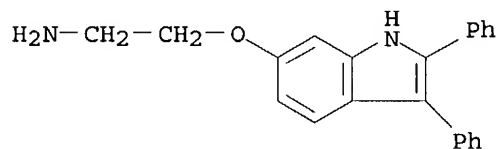
RN 372093-76-0 CAPLUS

CN Ethanamine, 2-[(3-methyl-2-phenyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)



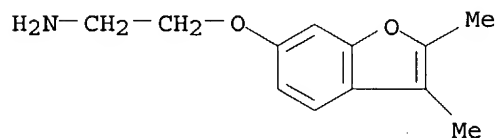
RN 372093-81-7 CAPLUS

CN Ethanamine, 2-[(2,3-diphenyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)



RN 372094-15-0 CAPLUS

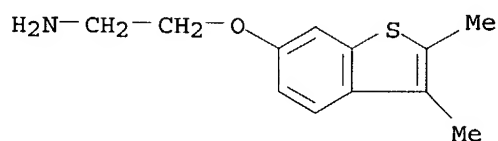
CN Ethanamine, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

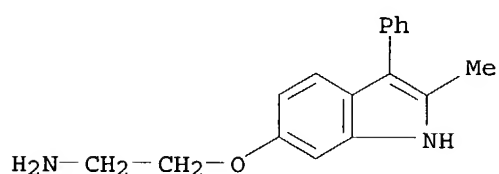
RN 372094-24-1 CAPLUS

CN Ethanamine, 2-[(2,3-dimethylbenzo[b]thien-6-yl)oxy]-, hydrobromide (9CI) (CA INDEX NAME)

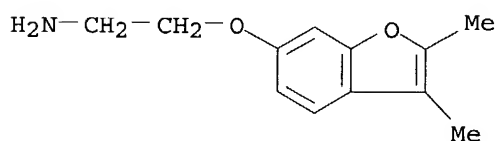


● HBr

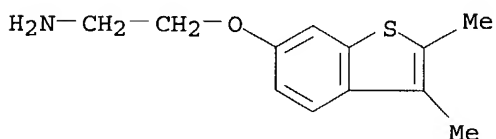
RN 372094-69-4 CAPLUS
 CN Ethanamine, 2-[(2-methyl-3-phenyl-1H-indol-6-yl)oxy] - (9CI) (CA INDEX NAME)



RN 372094-72-9 CAPLUS
 CN Ethanamine, 2-[(2,3-dimethyl-6-benzofuranyl)oxy] - (9CI) (CA INDEX NAME)



RN 372094-77-4 CAPLUS
 CN Ethanamine, 2-[(2,3-dimethylbenzo[b]thien-6-yl)oxy] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:697801 CAPLUS

DOCUMENT NUMBER: 134:136596

TITLE: Synthesis and HPLC analysis of enzymatically cleavable linker consisting of poly(ethylene glycol) and dipeptide for the development of immunoconjugate

AUTHOR(S): Suzawa, T.; Nagamura, S.; Saito, H.; Ohta, S.; Hanai, N.; Yamasaki, M.

CORPORATE SOURCE: Tokyo Research Laboratories, Kyowa Hakko Kogyo Co.,

10761265

SOURCE: Ltd., Asahi-machi, Machida-shi, Tokyo, 194-8533, Japan
Journal of Controlled Release (2000), 69(1), 27-41
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

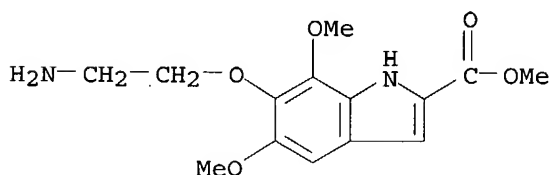
AB A model compound of antitumor agent, segment B of duocarmycin derivative DU-86, was conjugated to tumor-specific antibody via a cleavable linker consisting of poly(ethylene glycol) (PEG) and dipeptide, l-alanyl-l-valine (Ala-Val), to confirm the feasibility of the linker for application to immunoconjugate. The release of segment B from the linker was evaluated by HPLC anal. When segment B was derivatized to have an amino residue and then linked to PEG through a dipeptide, segment B was cleaved at the peptide bond by a particular enzyme, thermolysin (EC 3.4.24.4), but not by plasmin (EC 3.4.2 1.7), indicating that certain protease specifically expressed at the tumor site would be capable of peptide-specific digestion and release of anti-tumor agent since a thermolysin-like enzyme has been reported to be expressed at many tumor cells. Furthermore, the results showing that cell extract from G361 human melanoma had an ability to digest the linker peptide while the linker was stable in normal human serum suggested the tumor-specific activation of the conjugated agent. Segment B was conjugated via the linker to murine monoclonal antibody KM641 reactive to GD3 ganglioside to form immunoconjugate and the quant. release of segment B under the treatment with the enzyme was also confirmed. These results indicate the possibility of double targeting based on both the recognition ability of tumor specific antibody and tumor specific activation of the antitumor agents to enhance tumor treatment efficacy and to decrease unwanted side effects.

IT 185218-72-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and HPLC anal. of enzymically cleavable linker consisting of PEG and dipeptide for development of immunoconjugate)

RN 185218-72-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6-(2-aminoethoxy)-5,7-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:564511 CAPLUS

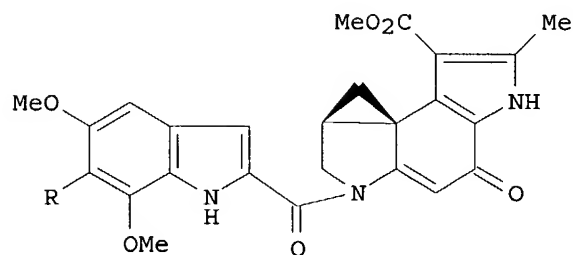
DOCUMENT NUMBER: 133:335107

TITLE: Synthesis of a novel duocarmycin derivative DU-257 and its application to immunoconjugate using poly(ethylene glycol)-dipeptidyl linker capable of tumor specific activation

AUTHOR(S): Suzawa, T.; Nagamura, S.; Saito, H.; Ohta, S.; Hanai, N.; Yamasaki, M.

CORPORATE SOURCE: Tokyo Research Laboratories, Kyowa Hakko Kogyo Co., Ltd, Tokyo, 194-8533, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(8), 2175-2184
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Novel anti-tumor agent, duocarmycin derivative DU-257 [I; R = H₂NCH₂CH₂O, (II)], was designed and synthesized to prepare immunoconjugate in order to confirm the feasibility of enzymically cleavable linker consisting of poly(ethylene glycol) (PEG) and dipeptide, L-alanyl-L-valine. Oxyethylamine arm was introduced at 4-methoxy position of segment B of DU-86 [I; R = OMe, (III)] to form II and evaluated its property. II retained similar stability and potency with III while enhanced hydrophilicity suggested. II was condensed to the PEG-dipeptidyl linker through carboxyl terminal of dipeptide, and enzymic release of II using a model enzyme, thermolysin, similar enzyme of which was shown to be overexpressed at various tumor sites, was evaluated by HPLC anal. Cleavage between the linker amino acids by the model protease and release of II as valine conjugated form was confirmed. The enzymically released form of II expressed its cytotoxicity without loss of the potency for HeLaS3 and SW1116 tumor cell lines, although the efficacy was different in individual cells. II was then conjugated through the linker to KM231 monoclonal antibody specifically reactive to GD3 antigen which was shown to be expressed on the surface of many malignant tumors such as SW1116. The conjugate retained its binding specificity for SW1116 cell with a similar activity with KM231. Furthermore, the conjugate showed significant growth inhibition on SW1116 cell at a concentration of 75 µg/mL while no effect on antigen neg. cell, HeLaS3. These results suggest that the conjugate retained its anti-tumor effect only when it bound on and was activated at the target cell, simultaneously. II will be one of the candidate of anti-tumor agent for application to immunoconjugate and its conjugate with KM231 via PEG-dipeptidyl linker will be a useful entity for cancer therapy related to sLea expression.

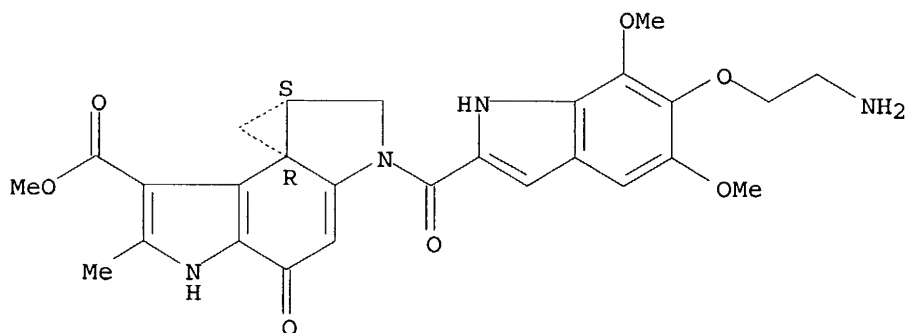
IT 185218-65-9P, DU 257

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of a novel duocarmycin derivative DU-257 and its application to immunoconjugate using poly(ethylene glycol)-dipeptidyl linker capable of tumor specific activation)

RN 185218-65-9 CAPLUS

CN Cyclopropa[c]pyrrolo[3,2-e]indole-7-carboxylic acid, 2-[[6-(2-aminoethoxy)-5,7-dimethoxy-1H-indol-2-yl]carbonyl]-1,2,4,5,8,8a-hexahydro-6-methyl-4-oxo-, methyl ester, (7bR,8aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:535130 CAPLUS

DOCUMENT NUMBER: 133:135218

TITLE: Preparation of aminoalkylbenzofurans as 5-HT2C agonists

INVENTOR(S): Briner, Karin; Burkhardt, Joseph Paul; Burkholder, Timothy Paul; Fisher, Matthew Joseph; Gritton, William Harlan; Kohlman, Daniel Timothy; Liang, Sidney Xi; Miller, Shawn Christopher; Mullaney, Jeffrey Thomas; Xu, Yao-Chang; Xu, Yanping

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044737	A1	20000803	WO 2000-US1342	20000119
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1149085	A1	20011031	EP 2000-904438	20000119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002535396	T2	20021022	JP 2000-595993	20000119
PRIORITY APPLN. INFO.: US 1999-117385P P 19990127				
WO 2000-US1342 W 20000119				

OTHER SOURCE(S): MARPAT 133:135218

AB RZCR4R41CR5R51NH2 [R = (un)substituted benzofuran-4-, -5-, -6-, or -7-yl; R4,R41,R5 = H, alkyl, CH2Ph; R51 = H; R4R41,R5R51 = CH2CH2; Z = bond, CH2, alkylidene, CHCH2Ph] were prepared as 5-HT2C agonists (no data). Thus,

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4-BrC₆H₄OH was etherified by BrCH₂CH(OEt)₂ and the product cyclized to give 5-bromobenzofuran which was condensed with CH₂:CMeOAc and the product reductively aminated to give α -methylbenzofuran-5-ethanamine.

IT 286835-89-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylbenzofurans as 5-HT₂C agonists)

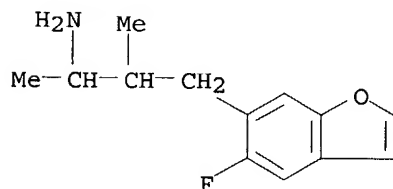
RN 286835-89-0 CAPLUS

CN 6-Benzofuranpropanamine, 5-fluoro- α,β -dimethyl-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 286835-88-9

CMF C13 H16 F N O

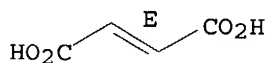


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:752945 CAPLUS

DOCUMENT NUMBER: 128:22811

TITLE: Benzofurans and benzopyrans as chronobiological agents

INVENTOR(S): Ellis, Frank; Panchal, Terence Aaron; North, Peter
Charles; Cooke, Jason William Beames; Dolan, Simon
Charles

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

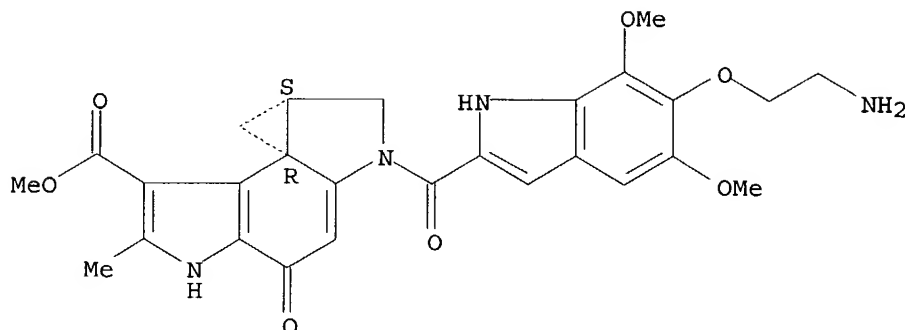
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9743272	A2	19971120	WO 1997-EP2402	19970513

Absolute stereochemistry.



IT 185218-65-9P 185218-72-8P

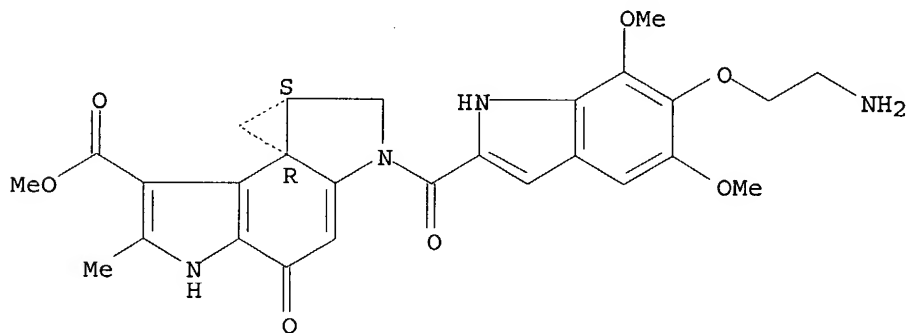
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antitumor toxin complex via spacer containing polyalkylene glycol and dipeptide)

RN 185218-65-9 CAPLUS

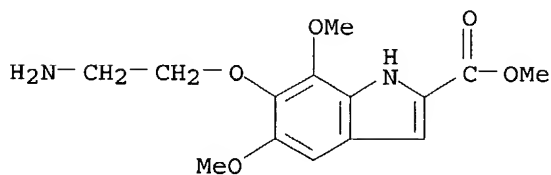
CN Cyclopropa[c]pyrrolo[3,2-e]indole-7-carboxylic acid, 2-[[6-(2-aminoethoxy)-5,7-dimethoxy-1H-indol-2-yl]carbonyl]-1,2,4,5,8,8a-hexahydro-6-methyl-4-oxo-, methyl ester, (7bR,8aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185218-72-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6-(2-aminoethoxy)-5,7-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:155520 CAPLUS

DOCUMENT NUMBER: 124:202005

TITLE: Heterocyclylcarbonyl-substituted benzofuranyl- and

10761265

WO 9743272 A3 19980326

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9729531 A1 19971205 AU 1997-29531 19970513

AU 727416 B2 20001214

ZA 9704123 A 19981113 ZA 1997-4123 19970513

EP 901483 A2 19990317 EP 1997-923868 19970513

EP 901483 B1 20030709

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9708949 A 19990803 BR 1997-8949 19970513

CN 1228775 A 19990915 CN 1997-196252 19970513

JP 2000511518 T2 20000905 JP 1997-540502 19970513

AT 244708 E 20030715 AT 1997-923868 19970513

PT 901483 T 20031128 PT 1997-923868 19970513

ES 2202615 T3 20040401 ES 1997-923868 19970513

US 5981572 A 19991109 US 1998-180441 19981106

KR 2000011021 A 20000225 KR 1998-709171 19981113

HK 1018901 A1 20031128 HK 1999-103991 19990914

PRIORITY APPLN. INFO.:

GB 1996-10032 A 19960514

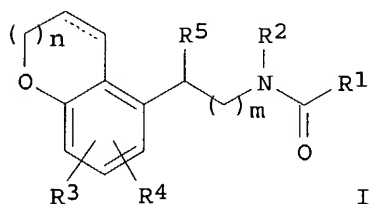
GB 1996-23775 A 19961115

WO 1997-EP2402 W 19970513

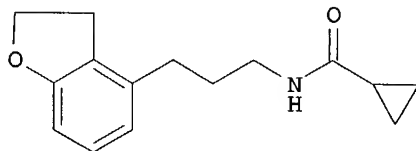
OTHER SOURCE(S):

MARPAT 128:22811

GI



I



II

AB Title compds. I and their pharmaceutically acceptable salts are disclosed [wherein R1, R2 = H, C1-6 alkyl, C3-7 cycloalkyl, or aryl; R3, R4 = H, halo, C1-6 alkyl, or substituted aryl; R5 = H or C1-6 alkyl; n = 0, 1, or 2; m = 1, 2, 3, or 4; dotted line = optional pi bond]. The compds. have high affinity and selectivity for binding to melatonin receptors (no data), and are useful for treatment of disorders of melatonin-regulated systems, e.g., chronobiol. disorders. Examples include the preps. of approx. 28 compds. and 35 intermediates. For instance, 7-chloro-4-methylbenzofuran underwent a sequence of benzylic bromination, oxidation to an aldehyde with N-methylmorpholine N-oxide, Wittig-type reaction with di-Et cyanomethylphosphonate, and hydrogenation with dechlorination, to give 3-(2,3-dihydrobenzofuran-4-yl)propylamine-HCl. The latter was converted to the free base and condensed with cyclopropanecarbonyl chloride to give title compound II.

IT 199391-55-4P, 3-(5-Chlorobenzofuran-6-yl)propylamine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

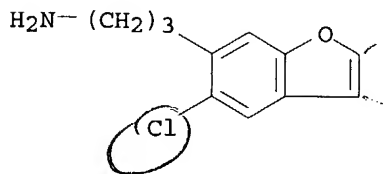
(intermediate; preparation of benzofurans and benzopyrans as melatonergic

06/17/2004

chronobiol. agents)

RN 199391-55-4 CAPLUS

CN 6-Benzofuranpropanamine, 5-chloro- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:44674 CAPLUS

DOCUMENT NUMBER: 126:65386

TITLE: Preparation of antitumor toxin complexes

INVENTOR(S): Suzawa, Toshiyuki; Yamasaki, Motoo; Nagamura, Satoru; Saito, Hiromitsu; Ohta, So; Hanai, Nobuo

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9635451	A1	19961114	WO 1996-JP1241	19960510
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2220339	AA	19961114	CA 1996-2220339	19960510
EP 867190	A1	19980930	EP 1996-913722	19960510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6103236	A	20000815	US 1997-981416	19971110
US 6638509	B1	20031028	US 2000-500243	20000208
PRIORITY APPLN. INFO.:				
JP 1995-111933 A 19950510				
WO 1996-JP1241 W 19960510				
US 1997-981416 A3 19971110				
AB	A toxin complex is prepared by bonding a residue of a compound having target cell affinity and a residue of toxin via a spacer containing a polyalkylene glycol and a dipeptide. The compds. which show cell affinity include tumor-specific antibody and its fragments. For example, HO-PEG-Ala-Val-adriamycin reaction products with NL-1 (acute lymphocytic leukemia antibody) was prepared and its antiproliferative effect against Daudi Burkitt's lymphoma cells was tested.			
IT	185218-65-9DP, reaction products with PEG-Ala-Val-OH derivative and antibody			
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(preparation of antitumor toxin complex via spacer containing polyalkylene glycol and dipeptide)			
RN	185218-65-9 CAPLUS			
CN	Cyclopropa[c]pyrrolo[3,2-e]indole-7-carboxylic acid, 2-[[6-(2-aminoethoxy)-5,7-dimethoxy-1H-indol-2-yl]carbonyl]-1,2,4,5,8,8a-hexahydro-6-methyl-4-oxo-, methyl ester, (7bR,8aS)- (9CI) (CA INDEX NAME)			

06/17/2004

-thienylalkanecarboxylic acid derivatives useful as antiinflammatories.

INVENTOR(S): Fischer, Ruediger; Braeunlich, Gabriele; Es-Sayed, Mazen; Hanko, Rudolf; Tudhope, Stephen; Sturton, Graham; Abram, Trevor; Fitzgerald, Mary F.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

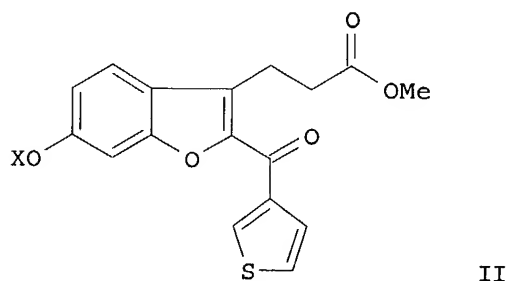
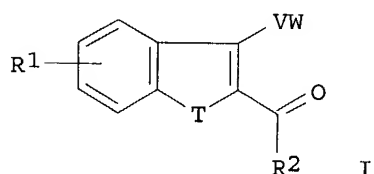
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 685479	A1	19951206	EP 1995-107603	19950518
EP 685479	B1	19990113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 175671	E	19990115	AT 1995-107603	19950518
ES 2127965	T3	19990501	ES 1995-107603	19950518
US 5691359	A	19971125	US 1995-447661	19950523
CA 2150305	AA	19951201	CA 1995-2150305	19950526
JP 07330763	A2	19951219	JP 1995-152738	19950529
PRIORITY APPLN. INFO.:			GB 1994-10877	19940531
OTHER SOURCE(S):		MARPAT 124:202005		

GI



AB Title compds. I [R1 = H, halo, CO2H, cyano, NO2, CF3, OR3, SR4; R2 = (un)substituted heterocyclyl; R3, R4 = cycloalkyl, H, (un)substituted heterocyclyl, alkyl, alkenyl, etc.; T = O, S; V = alkylene, alkenylene; W = cyano, tetrazolyl, CO2H or derivs., PO3H2 or derivs.] and salts are disclosed. I can be used for the preparation of medicaments, particularly those for treatment and prevention of acute and chronic inflammatory processes. I inhibit production of superoxide by polymorphonuclear leukocytes, without impairing other cell functions; inhibition is mediated by elevation of cAMP, probably due to inhibition of type IV phosphodiesterase (no data). I are prepared by reacting appropriately substituted hydroxybenzenebutanoic acid esters with heterocyclic ketones. Thus, 2,4-dihydroxy-γ-oxobenzenebutanoic acid Me ester was protected at 4-OH by 3,4-dihydro-2H-pyran (49%) and the product was cyclocondensed with 2-bromo-1-(3-thienyl)ethanone in the presence of K2CO3 (41%) to give title compound II [X = 2-tetrahydropyranyl]. Methanolysis of this in the presence of p-MeC6H4SO3H gave 72% II [X = H].

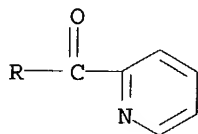
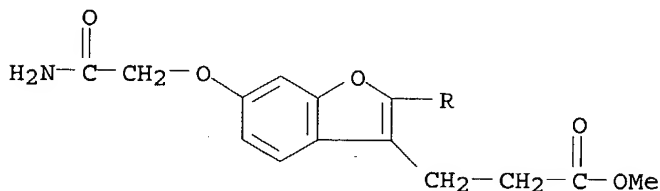
IT 174348-81-3P 174348-82-4P 174348-83-5P
174348-90-4P 174348-92-6P 174348-94-8P
174348-96-0P 174348-98-2P 174349-00-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzofuran and benzothiophene derivs. as antiinflammatories)

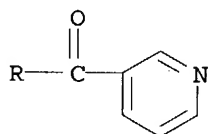
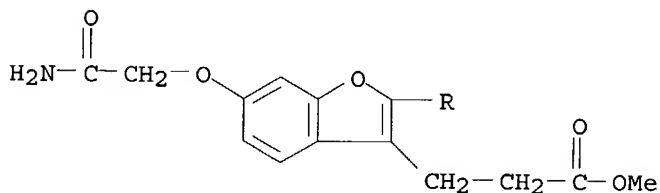
RN 174348-81-3 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(2-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



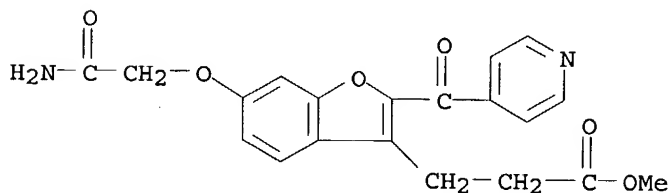
RN 174348-82-4 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



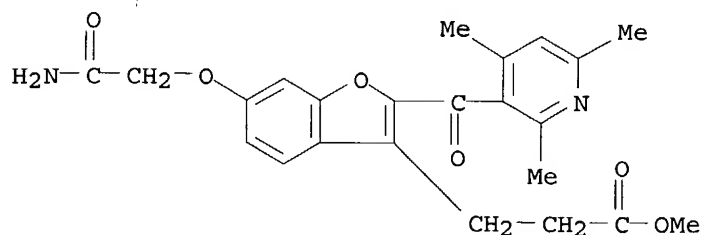
RN 174348-83-5 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



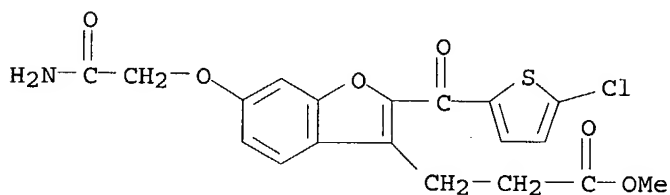
RN 174348-90-4 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(2,4,6-trimethyl-3-pyridinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



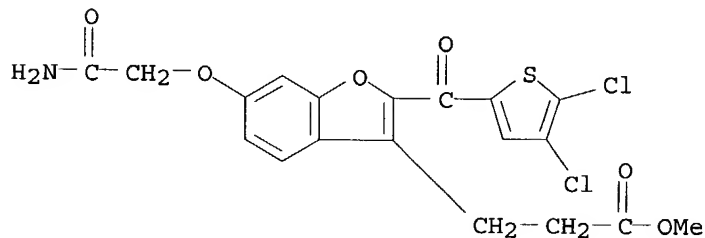
RN 174348-92-6 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(5-chloro-2-thienyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



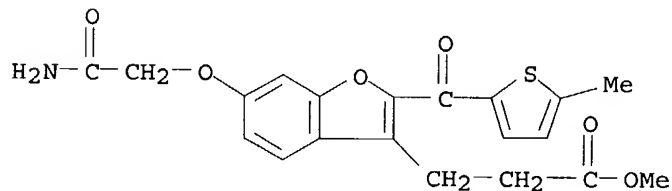
RN 174348-94-8 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(4,5-dichloro-2-thienyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 174348-96-0 CAPLUS

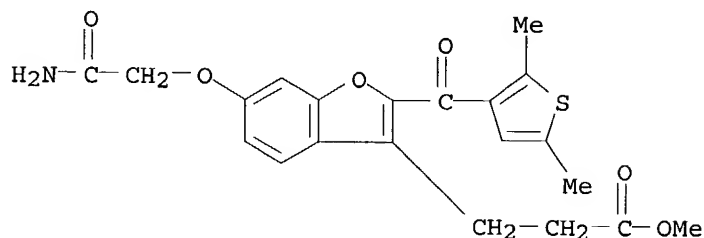
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(5-methyl-2-thienyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 174348-98-2 CAPLUS

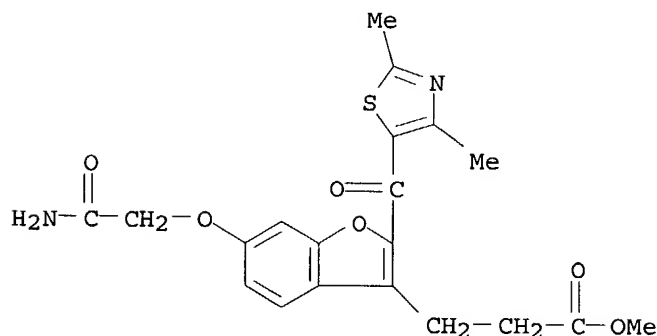
06/17/2004

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(2,5-dimethyl-3-thienyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 174349-00-9 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[(2,4-dimethyl-5-thiazolyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:155503 CAPLUS

DOCUMENT NUMBER: 124:202002

TITLE: Preparation of aminobenzofuran and aminobenzothiophene derivatives as antiinflammatory agents.

INVENTOR(S): Braeunlich, Gabriele; Fischer, Ruediger; Es-sayed, Mazen; Hanco, Rudolf; Tudhope, Stephen; Sturton, Graham; Abram, Trevor; McDonald-Gibson, Wendy J.; Fitzgerald, Mary F.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 77 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

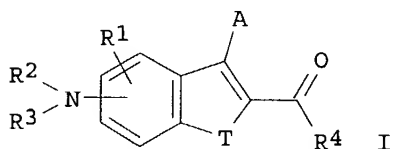
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 685475	A1	19951206	EP 1995-107606	19950518
EP 685475	B1	19990113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 175667	E	19990115	AT 1995-107606	19950518
ES 2127966	T3	19990501	ES 1995-107606	19950518
US 5622989	A	19970422	US 1995-449402	19950523

CA 2150300	AA 19951201	CA 1995-2150300	19950526
JP 07330751	A2 19951219	JP 1995-153845	19950529
PRIORITY APPLN. INFO.:		GB 1994-10868	19940531
		GB 1994-10878	19940531
		GB 1994-10879	19940531

OTHER SOURCE(S): MARPAT 124:202002
GI



AB Title compds. I [R1 = H, alkyl, halo, etc.; R2 = acyl, alkoxy, alkoxy carbonyl, etc.; R3 = H, alkyl, acyl, alkoxy, etc.; R4 = substituted phenyl; T = O, S; A = H, HO, cycloalkyl, carboxy, etc.] were prepared Hydrolysis of 6-aminobenzofuran I (R1 = R3 = H; R2 = MeCO; R4 = 4-MeSC6H4; T = O; A = MeOCOCH2CH2) afforded 88% I (R1 = R3 = H; R2 = MeCO; R4 = 4-MeSC6H4; T = O; A = CH2CH2COOH) which showed IC50 of 0.42 μ M against FMLP-stimulated production of superoxide radical anions. Compds. I are effective at 0.1-10 mg/kg.

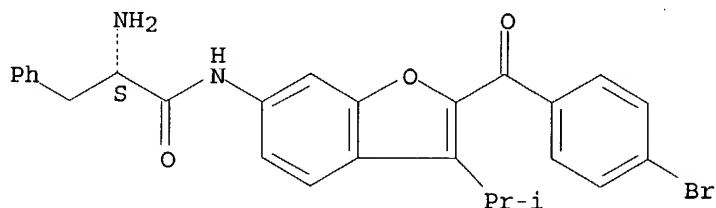
IT 174346-45-3P 174346-47-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminobenzofuran and aminobenzothiophene derivs. as antiinflammatory agents.)

RN 174346-45-3 CAPLUS

CN Benzenepropanamide, α -amino-N-[2-(4-bromobenzoyl)-3-(1-methylethyl)-6-benzofuranyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

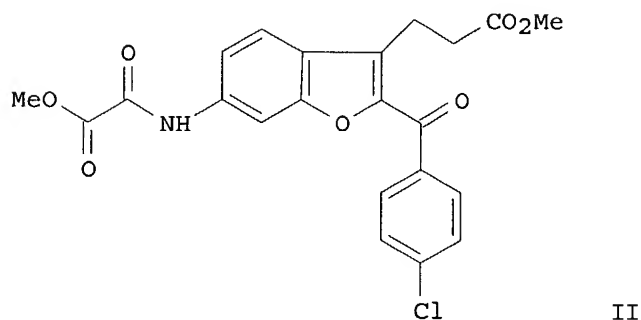
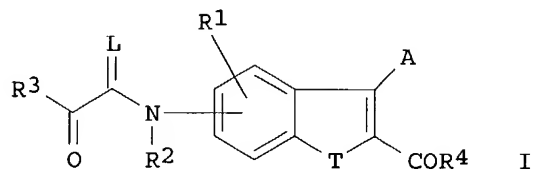


● HCl

RN 174346-47-5 CAPLUS

CN Benzenepropanamide, α -amino-N-[2-([1,1'-biphenyl]-4-ylcarbonyl)-3-(1-methylethyl)-6-benzofuranyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



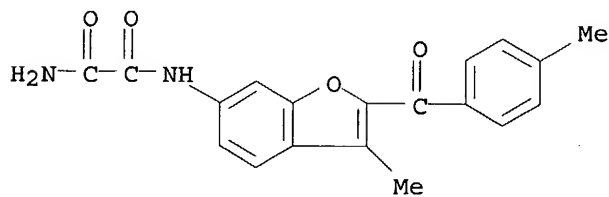
AB Title derivs. I wherein: L = O, S; R1 = e.g., H, straight-chain or branched alkyl having up to 6 carbon atoms; R2 = H or straight-chain or branched alkyl having up to 6 carbon atoms; R3 = e.g., OH, benzyloxy or straight-chain or branched alkyl or alkoxy having up to 10 carbon atoms; T = O or S; A = e.g., H, OH, cycloalkyl having up to 6 carbon atoms; R4 = e.g., Ph or a 5 to 7 membered saturated or unsatd. heterocycle, are claimed that are suitable for the prevention and treatment of acute and chronic inflammatory processes, particularly of the airways. Thus, e.g., treatment of 3-[6-amino-2-(4-chlorobenzoyl)-3-benzofuranyl]propanoic acid Me ester (prepared by deprotection of the 6-acetamido precursor) with MeCOCOC1 afforded the 6-(2-methoxy-2-oxoacetamido) derivative II which inhibited FMLP-stimulated production of superoxide radical anions with IC50 = 0.17 μ M.

IT 174340-24-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((oxalylamino)benzofuranyl and -benzothienyl derivs. as inflammation inhibitors)

RN 174340-24-0 CAPLUS

CN Ethanediarnide, [3-methyl-2-(4-methylbenzoyl)-6-benzofuranyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:382651 CAPLUS

DOCUMENT NUMBER: 122:160466

TITLE: Benzofuranyl- and -thienylalkanecarboxylic acid derivatives useful as antiinflammatories

INVENTOR(S): Fischer, Ruediger; Braeunlich, Gabriele; Mohrs, Klaus-Helmut; Hanko, Rudolf; Butler-Ransohoff, John-Edward; Es-Sayed, Mazen; Sturton, Graham; Tudhope, Steve; Abram, Trevor; McDonald-Gibson, Wendy J.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 79 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

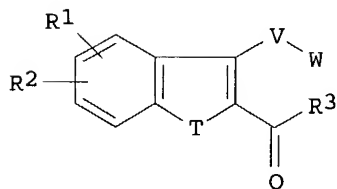
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

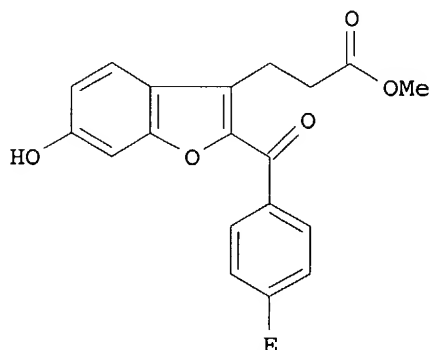
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 623607	A1	19941109	EP 1994-106320	19940422
EP 623607	B1	19980715		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9460558	A1	19941110	AU 1994-60558	19940419
AU 678814	B2	19970612		
AT 168373	E	19980815	AT 1994-106320	19940422
ES 2118283	T3	19980916	ES 1994-106320	19940422
US 5504213	A	19960402	US 1994-236796	19940429
JP 06329652	A2	19941129	JP 1994-115923	19940502
JP 3452088	B2	20030929		
CA 2122788	AA	19941107	CA 1994-2122788	19940503
FI 9402049	A	19941107	FI 1994-2049	19940504
NO 9401662	A	19941107	NO 1994-1662	19940505
ZA 9403100	A	19950109	ZA 1994-3100	19940505
RU 2125564	C1	19990127	RU 1994-15838	19940505
CN 1097749	A	19950125	CN 1994-104909	19940506
HU 67847	A2	19950529	HU 1994-1415	19940506
PRIORITY APPLN. INFO.:			GB 1993-9324	A 19930506

OTHER SOURCE(S): MARPAT 122:160466

GI



I



II

AB Title compds. I [R1, R2 = H, halo, CO₂H, cyano, NO₂, CF₃, (un)substituted OH, SH, or NH₂; R3 = mono- to trisubstituted Ph; T = O, S; V = straight or branched C2-8 alkylene or alkenylene; W = cyano, tetrazolyl, CO₂H or certain esters or amides, PO₃H₂ or certain esters, 4,4-dimethyl-2-oxazolin-2-yl] are prepared as antiinflammatories. I inhibit production of superoxide

by

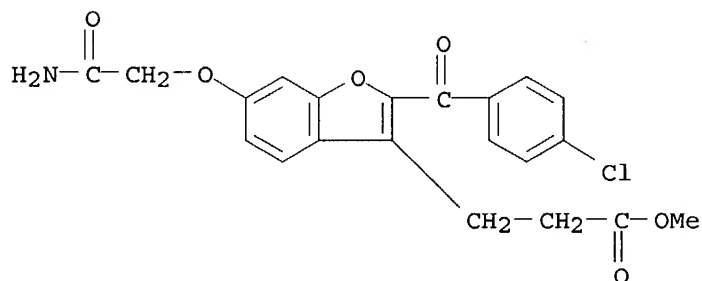
polymorphonuclear leukocytes (PMN), mediated by elevation of cellular cAMP due to inhibition of type IV phosphodiesterase. Synthetic methods include cyclization of hydroxyacetophenones and related compds., and Wittig reaction of benzofuranyl aldehydes. For example, the diphenolic keto ester 2,4-(HO)2C₆H₃COCH₂CH₂CO₂Me underwent tetrahydropyranylation of the 4-OH group (56%), cyclocondensation with 4-BrC₆H₄COCH₂Br using K₂CO₃ in refluxing acetone (65.1%), and removal of the tetrahydropyranyl protecting group with p-MeC₆H₄SO₃H in MeOH (86%), to give title compound II (E = Br). Incubation of PMN in vitro with the analogously prepared II (E = Cl) at 1 μM increased cAMP to 394% of control. At 25 mg/kg orally, II (E = Cl) gave 46% inhibition of FMLP-induced skin edema in guinea pigs. Approx. 290 I (T = O) were prepared

IT 161223-01-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzofuranyl- and benzothienylalkanecarboxylates as antiinflammatories)

RN 161223-01-4 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-chlorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)

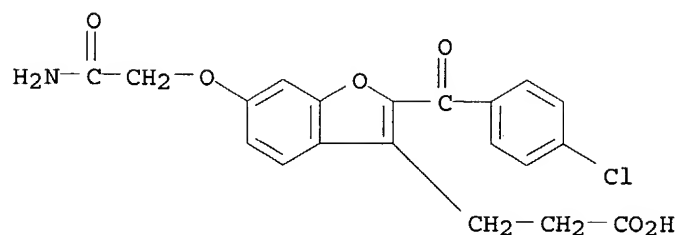


IT 161223-42-3P 161223-48-9P 161223-49-0P
161223-58-1P 161223-60-5P 161223-62-7P
161223-64-9P 161223-66-1P 161223-68-3P
161223-70-7P 161223-72-9P 161223-74-1P
161223-76-3P 161223-78-5P 161223-84-3P
161223-85-4P 161223-87-6P 161223-88-7P
161223-89-8P 161223-90-1P 161223-91-2P
161224-05-1P 161224-06-2P 161224-35-7P
161224-64-2P 161224-65-3P

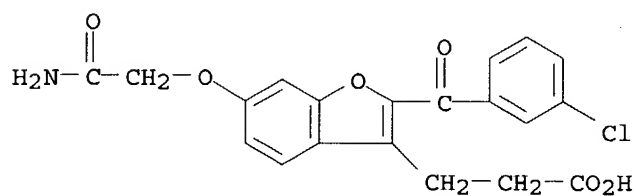
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzofuranyl- and benzothienylalkanecarboxylates as antiinflammatories)

RN 161223-42-3 CAPLUS

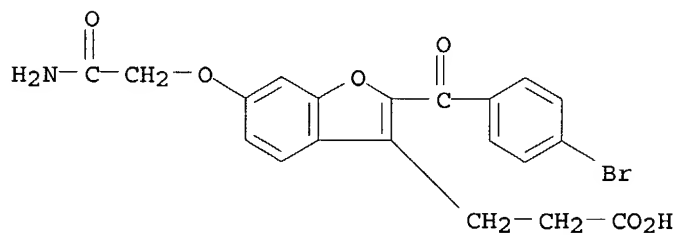
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-chlorobenzoyl)- (9CI) (CA INDEX NAME)



RN 161223-48-9 CAPLUS

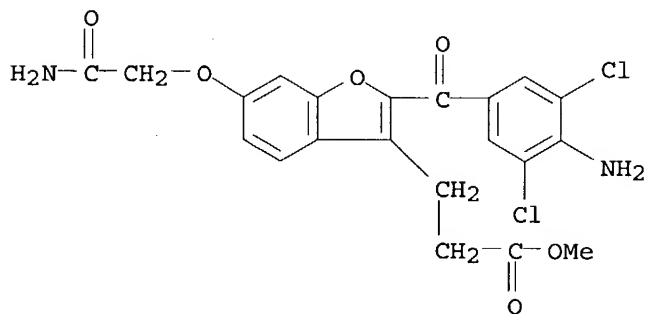
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-chlorobenzoyl)-
(9CI) (CA INDEX NAME)

RN 161223-49-0 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-bromobenzoyl)-
(9CI) (CA INDEX NAME)

RN 161223-58-1 CAPLUS

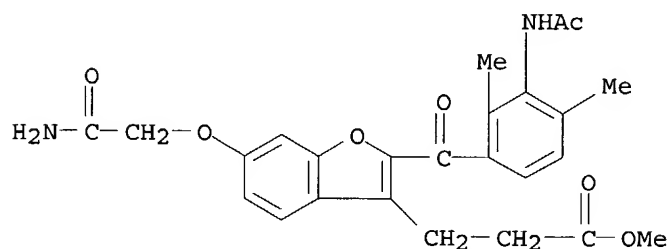
CN 3-Benzofuranpropanoic acid, 2-(4-amino-3,5-dichlorobenzoyl)-6-(2-amino-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 161223-60-5 CAPLUS

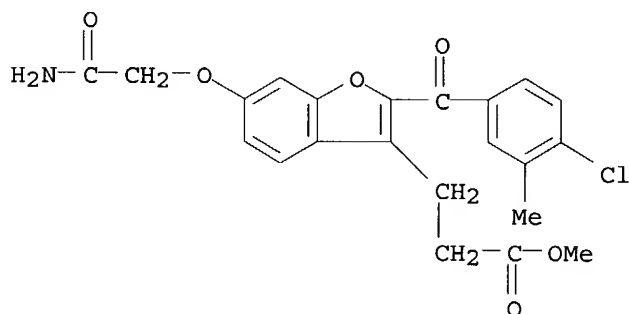
10761265

CN 3-Benzofuranpropanoic acid, 2-[3-(acetylamino)-2,4-dimethylbenzoyl]-6-(2-amino-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)



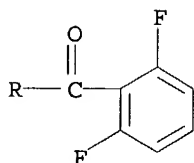
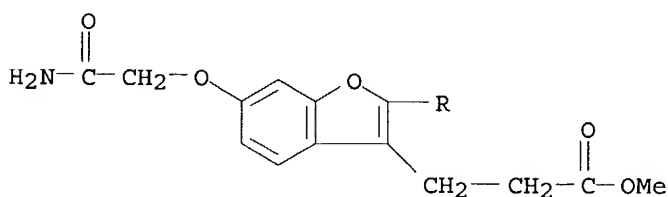
RN 161223-62-7 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-chloro-3-methylbenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



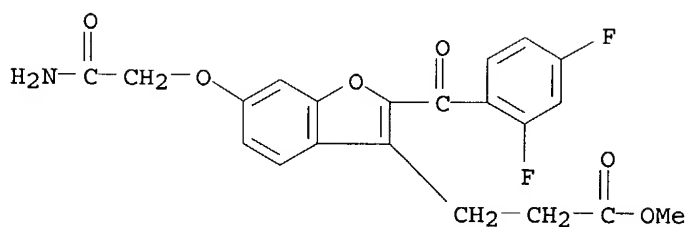
RN 161223-64-9 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(2,6-difluorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



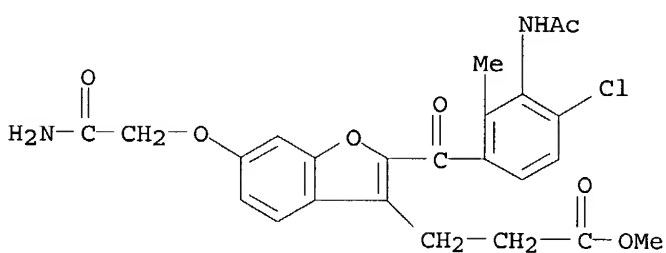
RN 161223-66-1 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(2,4-difluorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



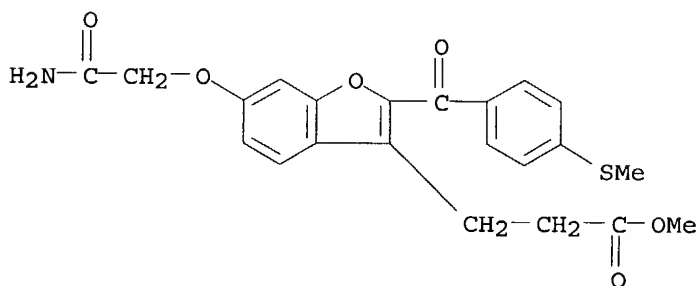
RN 161223-68-3 CAPLUS

CN 3-Benzofuranpropanoic acid, 2-[3-(acetylamino)-4-chloro-2-methylbenzoyl]-6-(2-amino-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)



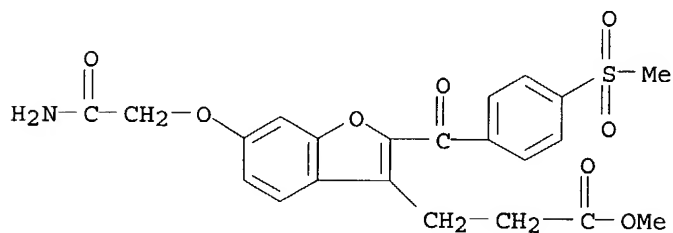
RN 161223-70-7 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-(methylthio)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



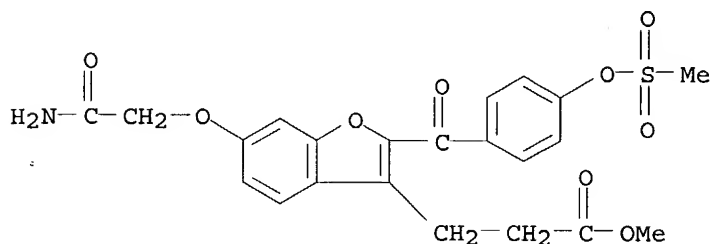
RN 161223-72-9 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-(methylsulfonyl)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



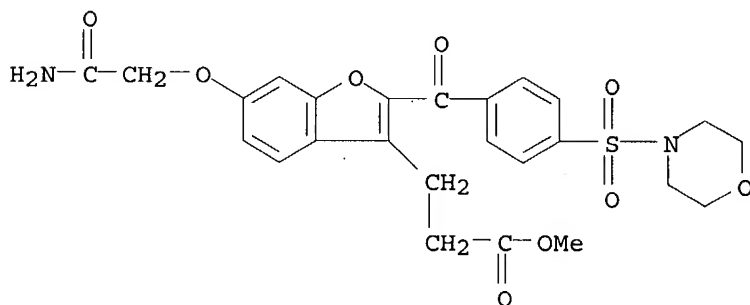
RN 161223-74-1 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-
[(methylsulfonyl)oxy]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



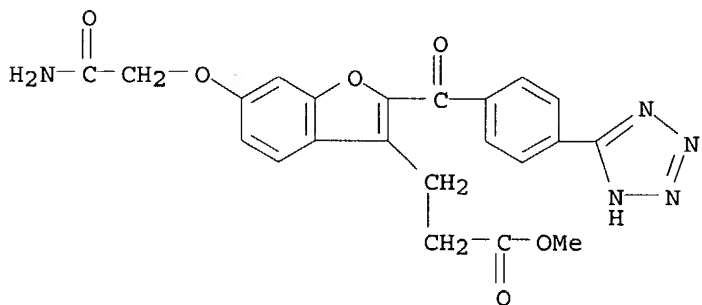
RN 161223-76-3 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-(4-
morpholinylsulfonyl)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



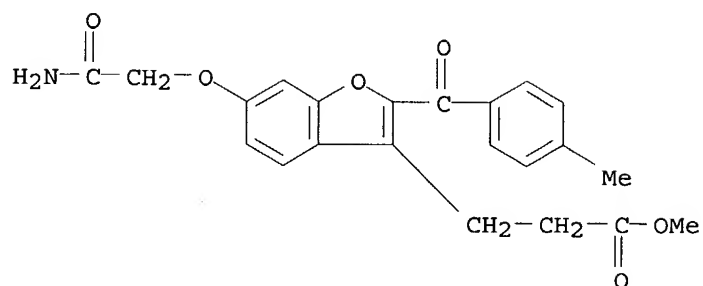
RN 161223-78-5 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-[4-(1H-tetrazol-5-
yl)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



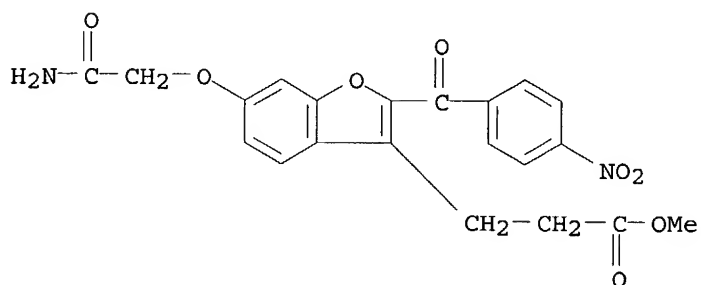
RN 161223-84-3 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-methylbenzoyl)-,
methyl ester (9CI) (CA INDEX NAME)



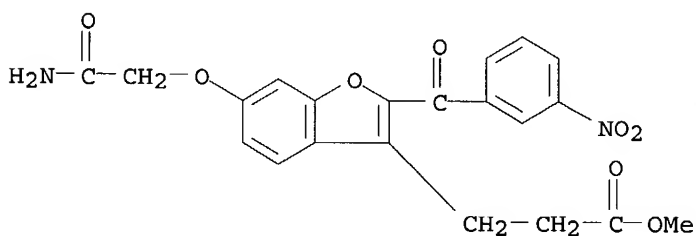
RN 161223-85-4 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-nitrobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



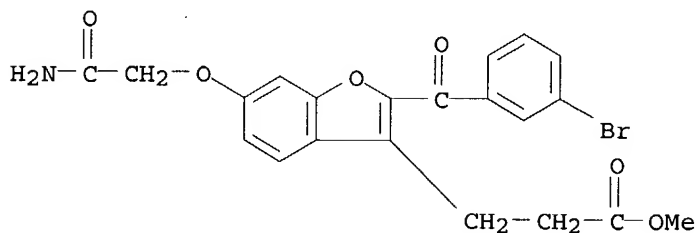
RN 161223-87-6 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-nitrobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



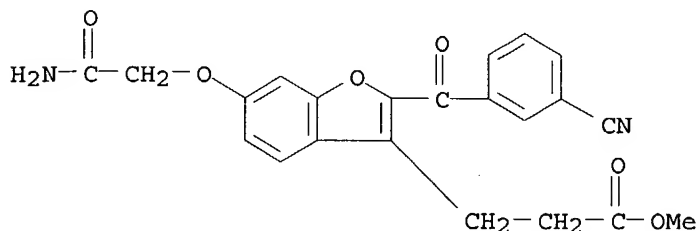
RN 161223-88-7 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-bromobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



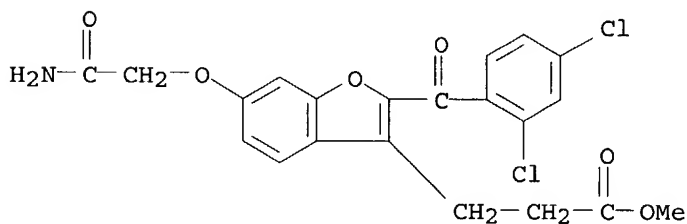
RN 161223-89-8 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-cyanobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



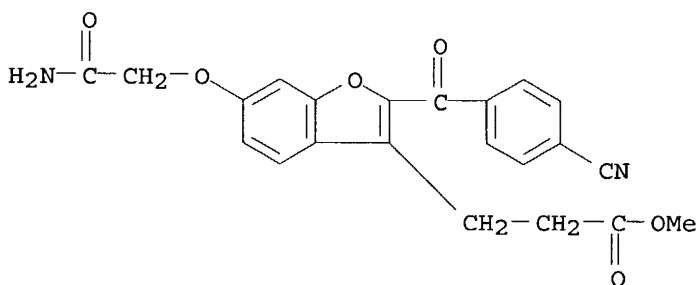
RN 161223-90-1 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(2,4-dichlorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



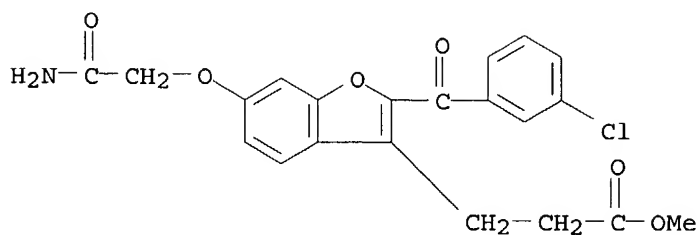
RN 161223-91-2 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-cyanobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



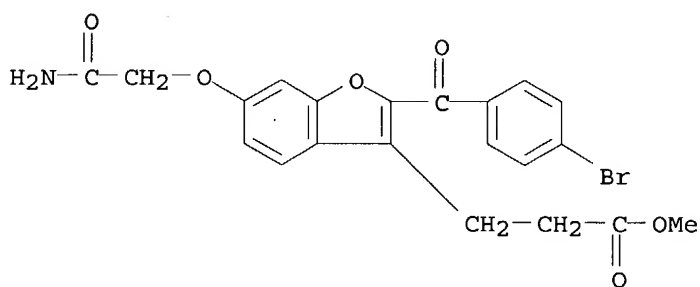
RN 161224-05-1 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(3-chlorobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



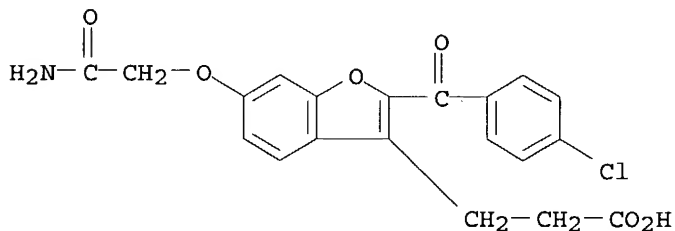
RN 161224-06-2 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-bromobenzoyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 161224-35-7 CAPLUS

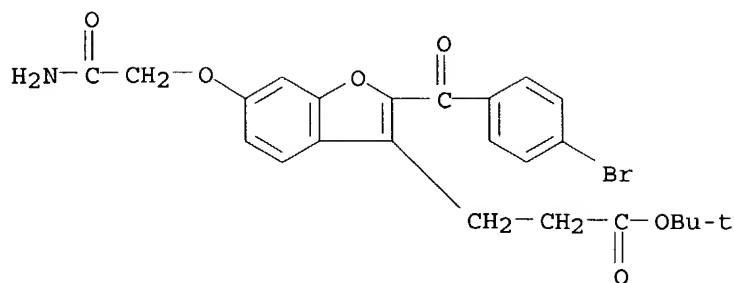
CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-chlorobenzoyl)-, monosodium salt (9CI) (CA INDEX NAME)



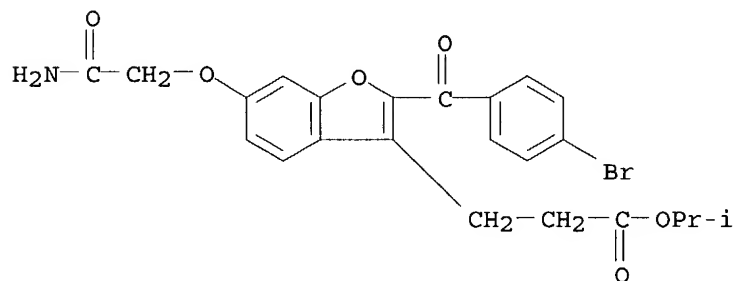
● Na

RN 161224-64-2 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-bromobenzoyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 161224-65-3 CAPLUS

CN 3-Benzofuranpropanoic acid, 6-(2-amino-2-oxoethoxy)-2-(4-bromobenzoyl)-,
1-methylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:656294 CAPLUS

DOCUMENT NUMBER: 119:256294

TITLE: Cosmetic composition containing melanin pigments and tocopherols.

INVENTOR(S): Hansenne, Isabelle; Forestier, Serge; N'Guyen, Quang Lan

PATENT ASSIGNEE(S): Oreal S. A., Fr.

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9317659	A1	19930916	WO 1993-FR207	19930302
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2688136	A1	19930910	FR 1992-2532	19920303
FR 2688136	B1	19950609		
AU 9336372	A1	19931005	AU 1993-36372	19930302
AU 669159	B2	19960530		
EP 587837	A1	19940323	EP 1993-905443	19930302
EP 587837	B1	19980603		
R: DE, ES, FR, GB, IT				
JP 06507424	T2	19940825	JP 1993-515380	19930302
JP 3045545	B2	20000529		

ES 2116442	T3	19980716	ES 1993-905443	19930302
US 5547658	A	19960820	US 1993-26059	19930303
PRIORITY APPLN. INFO.:			FR 1992-2532	A 19920303
			WO 1993-FR207	A 19930302

OTHER SOURCE(S): MARPAT 119:256294

AB Mixts. of tocopherols with melanin pigments synergistically protect the skin and hair against the noxious effects of free radicals. The mixts. are incorporated into cosmetics. The melanin pigments are natural or are prepared by indole derivative (Markush given) oxidation. A sunscreen cream comprised: melanin obtained by oxidation of 5,6-dihydroxyindole 0.025, DL- α -tocopherol 0.5, Parsol MCX 5.0, Sinnowax AO 7.0, Geleol (glycerol mono- and distearates) 2.0, cetyl alc. (90%) 1.5, vaseline oil 15.0, dimethylsiloxane 1.5, glycerol 3.0, and water to 100 g, as well as perfume and preservative.

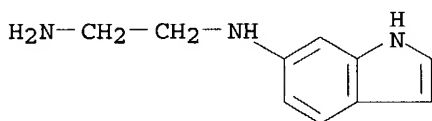
IT **150849-55-1D**, melanin-pigment oxidation products, mixts. with tocopherols

RL: BIOL (Biological study)

(cosmetics containing, as synergistic protectants against free radicals)

RN 150849-55-1 CAPLUS

CN 1,2-Ethanediamine, N-1H-indol-6-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:84305 CAPLUS

DOCUMENT NUMBER: 106:84305

TITLE: Synthesis and antiviral activity of bis[(alkylamino)alkoxy]-2-benzofuranyl ketone derivatives and bis(acyloxy)-2-benzofuranyl ketone derivatives

AUTHOR(S): Nagahara, Michiko; Kuriyama, Kiyoshi; Hiyama, Yoshiyuki; Ito, Kiyoshi; Ikemoto, Masahiko; Nakanishi, Teruo

CORPORATE SOURCE: Kyoto Res. Inst., Kaken Pharm. Co., Ltd., Kyoto, 607, Japan

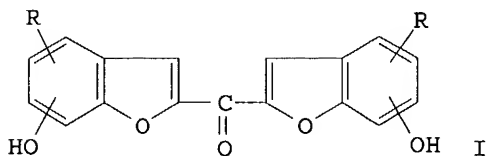
SOURCE: Yakugaku Zasshi (1986), 106(1), 27-35
CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

OTHER SOURCE(S): CASREACT 106:84305

GI



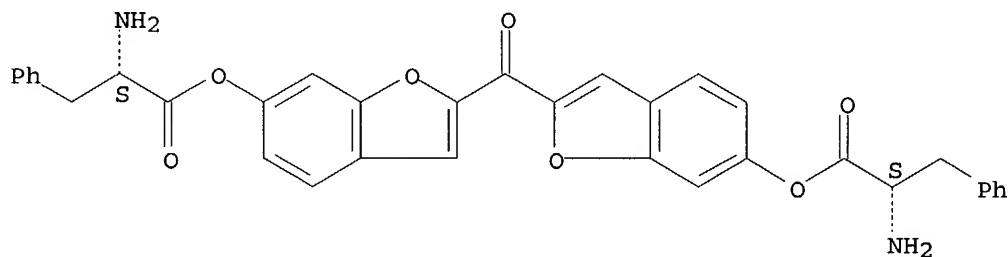
AB Bis[(alkylamino)alkoxy]-2-benzofuranyl ketones were synthesized by the reaction of (alkylamino)alkyl halides with bis(hydroxy-2-benzofuranyl) ketones I (R = H, halo). Bis(acyloxy-2-benzofuranyl) ketones were synthesized by the reaction of acid chlorides and anhydrides with I. Bis(6-acetoxy-2-benzofuranyl) ketone showed the most potent inhibitory activity on the multiplication of influenza virus in vitro. Bis[7-[(diethylamino)ethoxy]-2-benzofuranyl] ketone N,N'-dioxide showed a potent interferon-inducing activity in mice. Both compds. given orally were effective against the infection of an influenza virus in mice.

IT 96795-98-1P 96796-00-8P 96796-02-0P
 96796-09-7P 96796-11-1P 96796-13-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and virucidal activity of)

RN 96795-98-1 CAPLUS

CN L-Phenylalanine, carbonylbis(2,6-benzofurandiyl) ester, dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



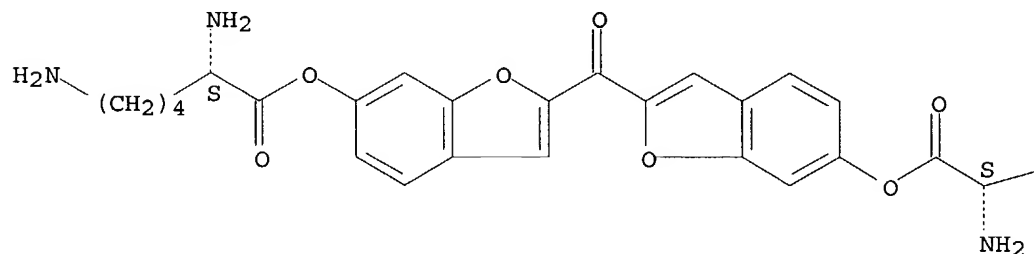
●2 HBr

RN 96796-00-8 CAPLUS

CN L-Lysine, carbonylbis(2,6-benzofurandiyl) ester, tetrahydrobromide (9CI) (CA INDEX NAME)

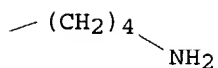
Absolute stereochemistry.

PAGE 1-A



●4 HBr

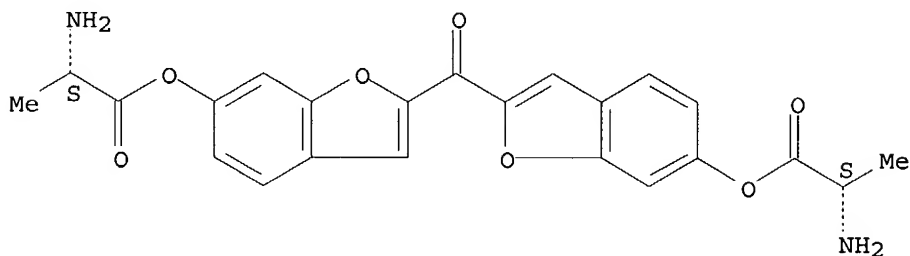
PAGE 1-B



RN 96796-02-0 CAPLUS

CN L-Alanine, carbonylbis(2,6-benzofurandiyl) ester, dihydrobromide (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

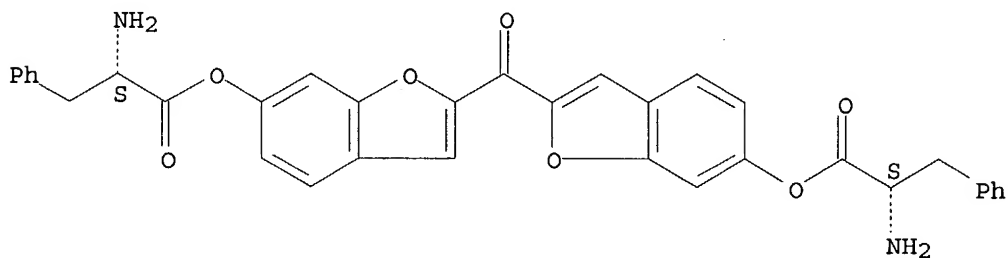


● 2 HBr

RN 96796-09-7 CAPLUS

CN L-Phenylalanine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

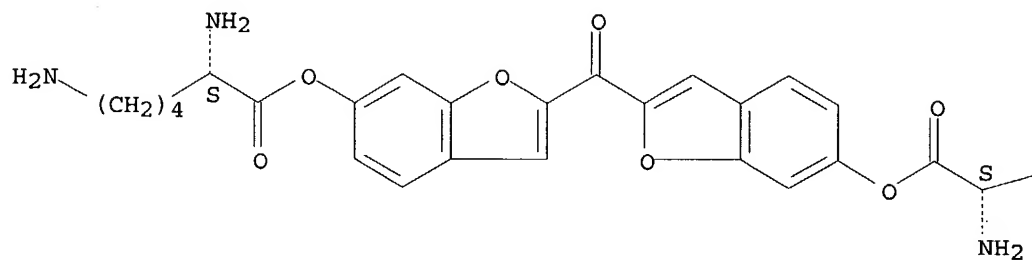


RN 96796-11-1 CAPLUS

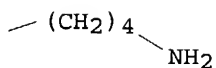
CN L-Lysine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



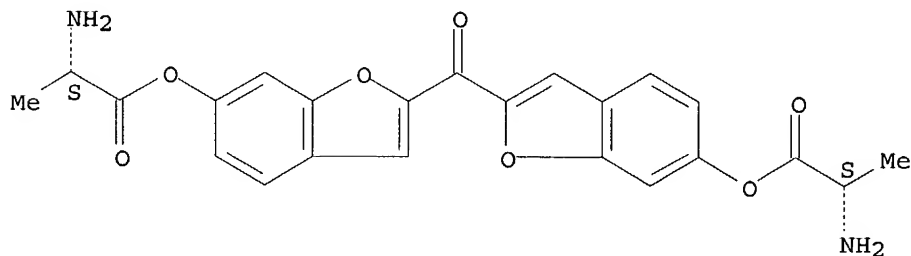
PAGE 1-B



RN 96796-13-3 CAPLUS

CN L-Alanine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:406224 CAPLUS

DOCUMENT NUMBER: 103:6224

TITLE: Bis(acyloxy-2-benzofuranyl) ketones

PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

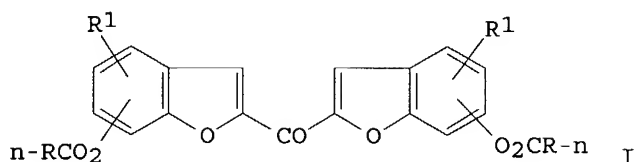
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60036476	A2	19850225	JP 1983-145085	19830810
JP 04065835	B4	19921021		
PRIORITY APPLN. INFO.:			JP 1983-145085	19830810

10761265

OTHER SOURCE(S): CASREACT 103:6224
GI



AB Antiviral bisbenzofuranyl ketones I ($n = 4, 5, 6, 7$; RCO = Ac, nicotinoyl, phenylalanyl, lysyl, alanyl, methionyl; $R1 = H, 4-Cl, 4,6-Br_2$) were prepared by O-acylation. Thus, 4-methoxysalicylaldehyde cyclized with 1,3-dichloroacetone and NaOH in dioxane and the MeO group cleaved with $AlCl_3$ in PhCl gave bis(6-hydroxy-2-benzofuranyl) ketone, which was acylated with Ac_2O containing H_2SO_4 to give I ($n = 6$, RCO = Ac, $R1 = H$). The latter had higher antiviral activity than amantadine with less cytotoxicity.

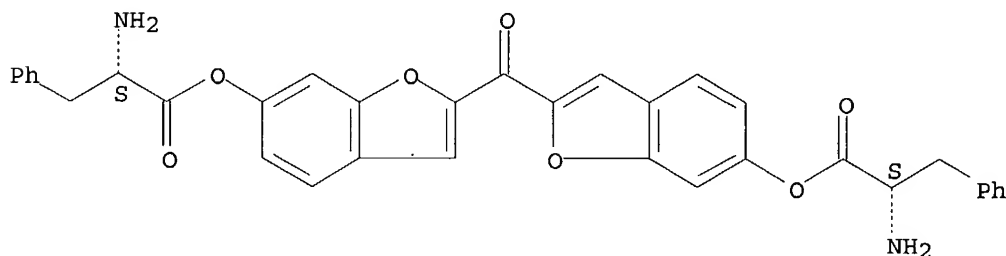
IT 96795-98-1P 96796-00-8P 96796-02-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of)

RN 96795-98-1 CAPLUS

CN L-Phenylalanine, carbonylbis(2,6-benzofurandiyl) ester, dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



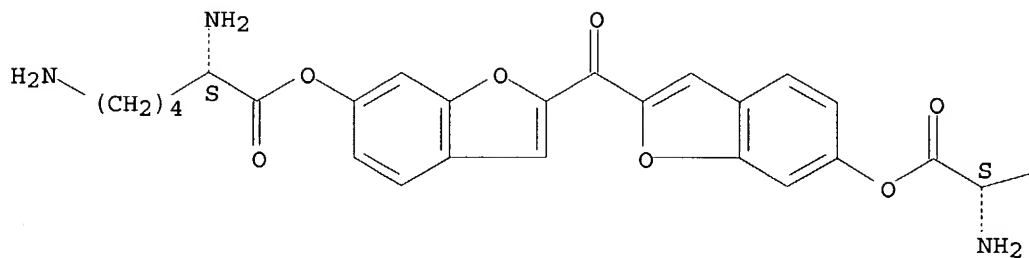
●2 HBr

RN 96796-00-8 CAPLUS

CN L-Lysine, carbonylbis(2,6-benzofurandiyl) ester, tetrahydrobromide (9CI)
(CA INDEX NAME)

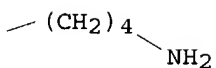
Absolute stereochemistry.

PAGE 1-A



● 4 HBr

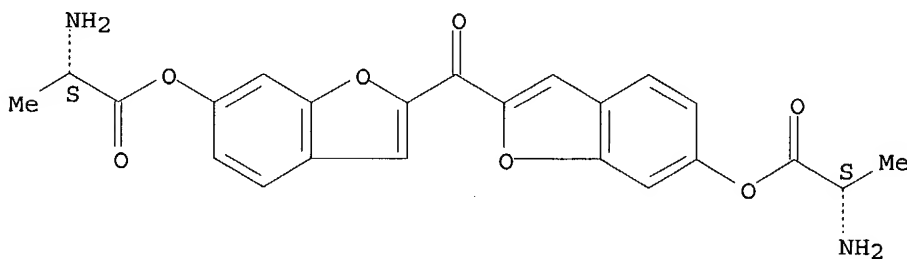
PAGE 1-B



RN 96796-02-0 CAPLUS

CN L-Alanine, carbonylbis(2,6-benzofurandiyl) ester, dihydrobromide (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



● 2 HBr

IT 96796-09-7P 96796-11-1P 96796-13-3P

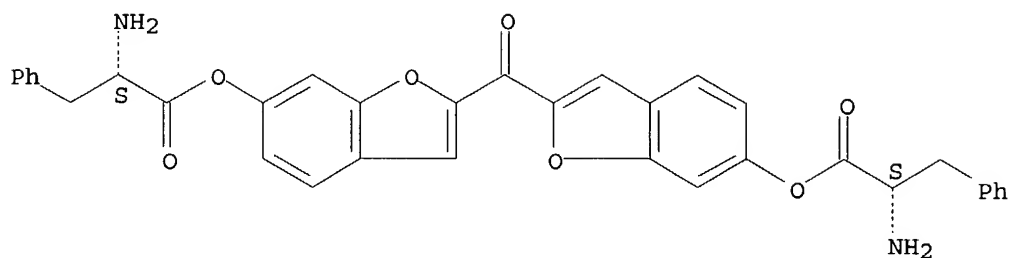
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 96796-09-7 CAPLUS

CN L-Phenylalanine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

10761265

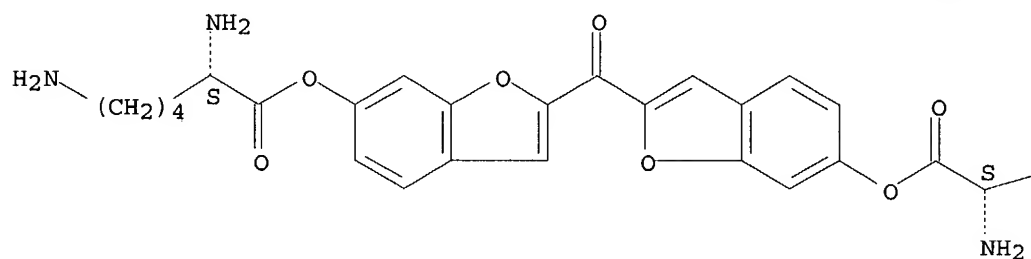


RN 96796-11-1 CAPLUS

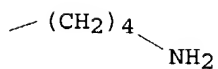
CN L-Lysine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



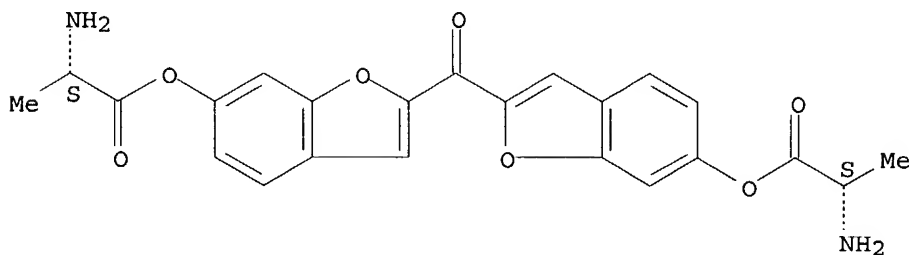
PAGE 1-B



RN 96796-13-3 CAPLUS

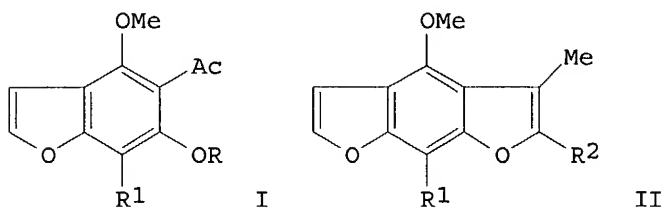
CN L-Alanine, carbonylbis(2,6-benzofurandiyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:593211 CAPLUS

10761265

DOCUMENT NUMBER: 91:193211
 TITLE: Synthesis of difuran derivatives and their biological activity
 AUTHOR(S): Hishmat, O. H.; El-Ebrashi, N. M. A.; Shalash, M. R.; Ismail, I.
 CORPORATE SOURCE: Natl. Res. Cent., Cairo, Egypt
 SOURCE: Arzneimittel-Forschung (1979), 29(8), 1081-3
 CODEN: ARZNAD; ISSN: 0004-4172
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



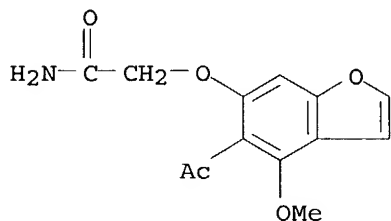
AB Substitution reactions of visnaginone and khellinone with ClCH₂CONH₂ and ClCH₂CN gave the benzopyrans I (R = CH₂CONH₂, CH₂CN; R₁ = H, OMe), which cyclized in Ac₂O containing NaOAc to give benzodifurans II (R₁ = H, OMe; R₂ = CONH₂, CN). Most I and II possessed bactericidal activity against gram-pos. and gram-neg. bacteria, including *Brucella abortus*.

IT 71960-36-6P 71960-37-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclocondensation reaction of)

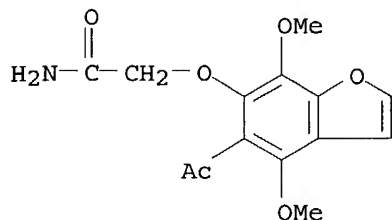
RN 71960-36-6 CAPLUS

CN Acetamide, 2-[(5-acetyl-4-methoxy-6-benzofuranyl)oxy]- (9CI) (CA INDEX NAME)

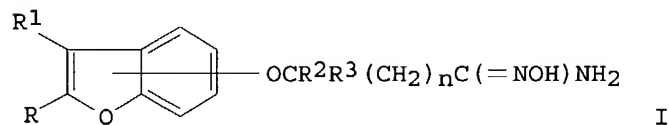


RN 71960-37-7 CAPLUS

CN Acetamide, 2-[(5-acetyl-4,7-dimethoxy-6-benzofuranyl)oxy]- (6CI, 9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1976:115623 CAPLUS
 DOCUMENT NUMBER: 84:115623
 TITLE: Benzofuran series. LVII.
 Benzofuryloxyalkylamidoximes as potential
 antidepressants
 AUTHOR(S): Areschka, Alex; Mahaux, Jean M.; Verbruggen, Francois;
 Houben, Christian; Descamps, Marcel; Broll, Madeleine;
 Werbenec, Jean P.; Charlier, Robert; Simiand, Jacques;
 Eymard, Pierre
 CORPORATE SOURCE: Cent. Rech., S. A. Labaz N. V., Brussels, Belg.
 SOURCE: European Journal of Medicinal Chemistry (1975), 10(4),
 398-407
 CODEN: EJMCA5; ISSN: 0223-5234
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 GI



AB Forty-eight title compds. (I; R, R1, R2, and R3 = H or alkyl; n = 0-2), in which the oxime-containing side chain is attached to either the homo- or the heterocyclic ring of the benzofuran moiety, were synthesized by the condensation of NH2OH [7803-49-8] with the appropriate benzofuryloxyalkylnitrile. The precursors for the latter were obtained by known procedures. None of the compds. had any antiinflammatory activity, but several possessed antidepressant action, as determined by standard tests;
 the

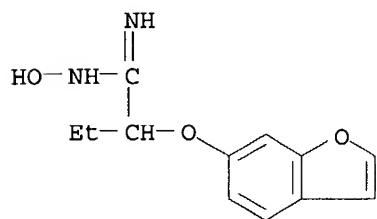
most active was I-HCl (R = R1 = R3 = H; R2 = Me; n = 0; side chain at position 7; II) [58493-16-6]. In general, the 3 position of I was the most unfavorable location for the side chain. II had stronger antiserpine and antiamphetamine activities than the reference compound, imipramine [50-49-7]. It also had a stronger antitremorine (cholinergic) effect, and was not a monoamine oxidase inhibitor. These properties of II resemble those of the tricyclic antidepressants.

IT 57926-54-2P 57926-55-3P 57926-61-1P
 57926-64-4P 57926-73-5P 57926-75-7P
 57926-91-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, antidepressants in relation to)

RN 57926-54-2 CAPLUS

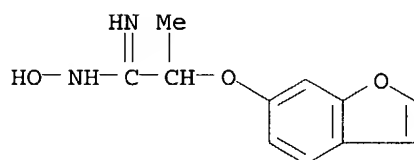
CN Butanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 57926-55-3 CAPLUS

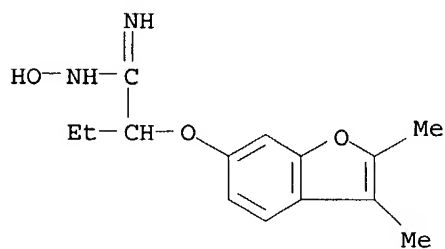
CN Propanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 57926-61-1 CAPLUS

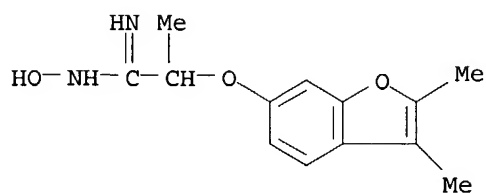
CN Butanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

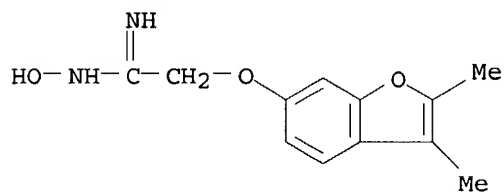
RN 57926-64-4 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
monohydrochloride (9CI) (CA INDEX NAME)



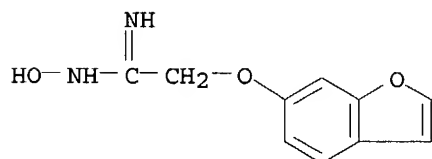
● HCl

RN 57926-73-5 CAPLUS
 CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
 monohydrochloride (9CI) (CA INDEX NAME)



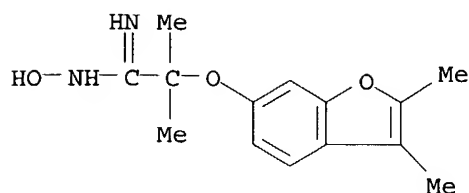
● HCl

RN 57926-75-7 CAPLUS
 CN Ethanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI)
 (CA INDEX NAME)



● HCl

RN 57926-91-7 CAPLUS
 CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1976:44076 CAPLUS
 DOCUMENT NUMBER: 84:44076
 TITLE: Amidoxime pharmaceutical
 INVENTOR(S): Binon, Fernand; Eymard, Pierre L.
 PATENT ASSIGNEE(S): Labaz, Fr.
 SOURCE: Ger. Offen., 59 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2501122	A1	19750717	DE 1975-2501122	19750114
DE 2501122	B2	19810521		
DE 2501122	C3	19820318		
FR 2257273	A1	19750808	FR 1974-1286	19740115
US 3931240	A	19760106	US 1974-530076	19741206
FI 7403536	A	19750716	FI 1974-3536	19741209
FI 63746	B	19830429		
FI 63746	C	19830810		
DK 7406451	A	19750908	DK 1974-6451	19741211
DK 137953	C	19781218		
ZA 7408105	A	19760128	ZA 1974-8105	19741219
AU 7476653	A1	19760624	AU 1974-76653	19741219
GB 1462311	A	19770126	GB 1975-1202	19750110
HU 168062	P	19760228	HU 1975-LA853	19750113
NO 7500104	A	19750716	NO 1975-104	19750114
SE 7500360	A	19750716	SE 1975-360	19750114
SE 422202	B	19820222		
SE 422202	C	19820603		
NL 7500399	A	19750717	NL 1975-399	19750114
NL 166020	B	19810115		
NL 166020	C	19810615		
SU 545254	D	19770130	SU 1975-2100651	19750114
CH 593245	A	19771130	CH 1975-362	19750114
CA 1037960	A1	19780905	CA 1975-217910	19750114
ES 433816	A1	19760916	ES 1975-433816	19750115
AT 7500268	A	19770515	AT 1975-268	19750115
AT 340912	B	19780110		
JP 50101348	A2	19750811	JP 1975-7658	19750116
JP 54001301	B4	19790123		
US 3984470	A	19761005	US 1975-599355	19750728

PRIORITY APPLN. INFO.:

FR 1974-1286

19740115

US 1974-530076

19741206

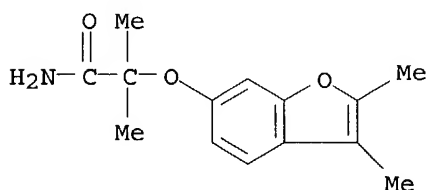
AB Antidepressant oximes ROCHR₁(CH₂)_nC(:NOH)NH₂ (I, R = naphthyl, benzofuryl, benzodioxanyl, benzothienyl; R₁ = H, n = 0-4; R₁ = Me, n = 0) were prepared. Thus, I (R = 2,3-dimethyl-7-benzofuryl, R₁ = H, n = 1) (II) was obtained by treating ROH with BrCH₂CH₂CO₂Et, aminating ROCH₂CH₂CO₂Et, dehydrating ROCH₂CH₂CONH₂, and treating ROCH₂CH₂CN with NH₂OH. II at 50 mg/kg orally in mice potentiated yohimbine toxicity by 40%.

IT 57926-20-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)

RN 57926-20-2 CAPLUS

CN Propanamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-2-methyl- (9CI) (CA INDEX NAME)



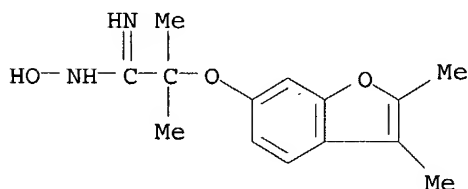
IT 57925-67-4P 57925-83-4P 57925-87-8P

57925-90-3P 57925-93-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

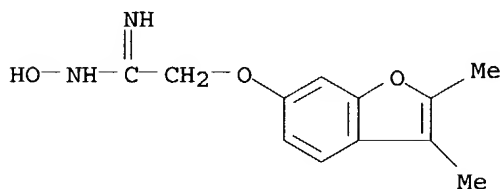
RN 57925-67-4 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



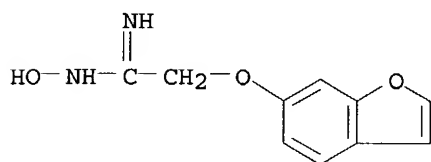
RN 57925-83-4 CAPLUS

CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy- (9CI) (CA INDEX NAME)



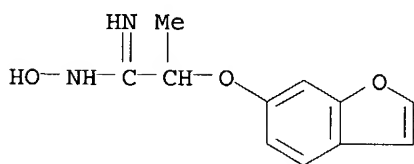
RN 57925-87-8 CAPLUS

CN Ethanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy- (9CI) (CA INDEX NAME)



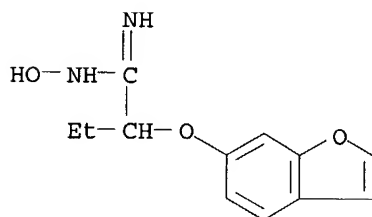
RN 57925-90-3 CAPLUS

CN Propanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy- (9CI) (CA INDEX NAME)



RN 57925-93-6 CAPLUS

CN Butanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy- (9CI) (CA INDEX NAME)



IT 57926-54-2P 57926-55-3P 57926-61-1P

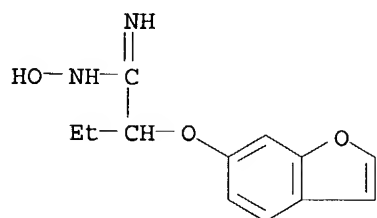
57926-64-4P 57926-73-5P 57926-75-7P

57926-91-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

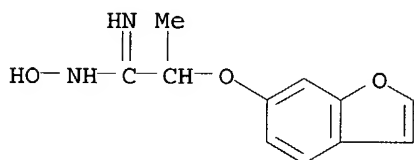
RN 57926-54-2 CAPLUS

CN Butanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI)
(CA INDEX NAME)



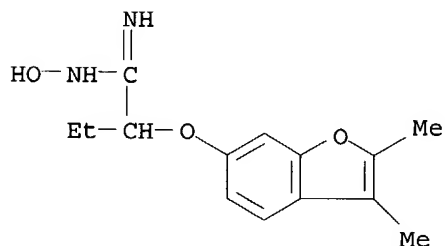
● HCl

RN 57926-55-3 CAPLUS

CN Propanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI)
(CA INDEX NAME)

● HCl

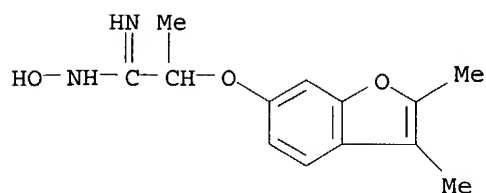
RN 57926-61-1 CAPLUS

CN Butanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

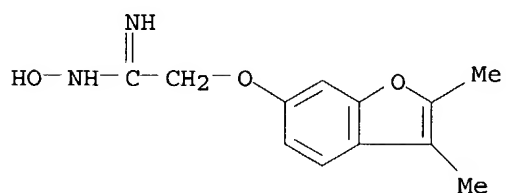
RN 57926-64-4 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
monohydrochloride (9CI) (CA INDEX NAME)



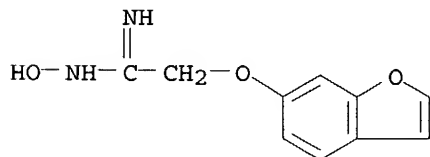
● HCl

RN 57926-73-5 CAPLUS
 CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
 monohydrochloride (9CI) (CA INDEX NAME)



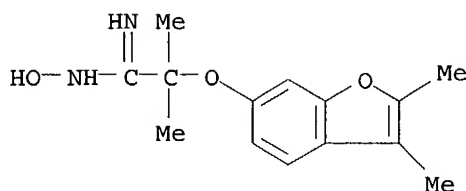
● HCl

RN 57926-75-7 CAPLUS
 CN Ethanimidamide, 2-(6-benzofuranyloxy)-N-hydroxy-, monohydrochloride (9CI)
 (CA INDEX NAME)



● HCl

RN 57926-91-7 CAPLUS
 CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1974:420780 CAPLUS

DOCUMENT NUMBER: 81:20780

TITLE: Benzofurans. LIII. Benzofuryloxyalkylguanidines

AUTHOR(S): Areschka, A.; Mahaux, J. M.; Verbruggen, F.; Binon, F.; Charlier, R.; Colot, M.; Heyndrickx, J.

CORPORATE SOURCE: Cent. Rech., S. A. Labaz N. V., Brussels, Belg.

SOURCE: Chimica Therapeutica (1973), 8(6), 613-20

CODEN: CHTPBA; ISSN: 0009-4374

DOCUMENT TYPE: Journal

LANGUAGE: French

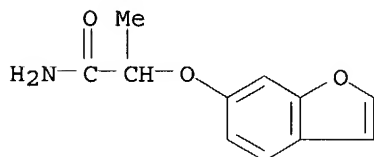
AB Eighteen benzofuryloxyalkylguanidines (I) were prepared from cyanamide [420-04-2] and the appropriate benzofuryloxyalkylamine hydrochloride. Four related coumaran, benzodioxan, and naphthalene derivs. were also prepared. Of the 22 compds., 1-[2-(4-benzofuryloxy)ethyl]guanidine methanesulfonate [51816-86-5], 1-[2-(4-benzofuryloxy)propyl]guanidine methanesulfonate [51816-92-3], 1-[2-(7-benzofuryloxy)propyl]guanidine methanesulfonate [51816-98-9], and 1-[2-(7-benzofuryloxy)-2-methylpropyl]guanidine methanesulfonate [51817-00-6] were the most active antihypertensives in rats. Structure activity relations were discussed.

IT 51817-42-6P 51817-43-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

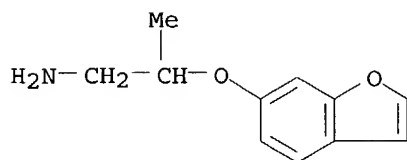
RN 51817-42-6 CAPLUS

CN Propanamide, 2-(6-benzofuranyloxy)- (9CI) (CA INDEX NAME)



RN 51817-43-7 CAPLUS

CN 1-Propanamide, 2-(6-benzofuranyloxy)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1971:510120 CAPLUS

DOCUMENT NUMBER: 75:110120

TITLE: Synthesis of some benzofuran carboxamide derivatives and their analgesic activity

AUTHOR(S): Hishmat, Orchidee H.; Hashim, A. A.; Shalash, M. R.; Nawito, M.

CORPORATE SOURCE: Natl. Res. Cent., Cairo, Egypt

SOURCE: Arzneimittelforschung (1971), 21(7), 1026-8
CODEN: ARZNAD; ISSN: 0004-4172

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

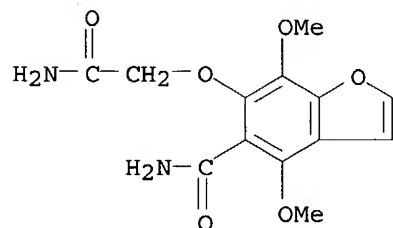
AB Benzofurancarboxamides (I) were prepared and their analgesic activity was tested on rats. Thus, 4-methoxy-6-hydroxy-5-benzofurancarboxylic acid was treated with CH_2N_2 and the product refluxed with NH_4OH to give I (R = OH, R1 = H), which was treated in NaOH solution with $\text{ClCH}_2\text{CO}_2\text{H}$ to give 78% I (R = $\text{OCH}_2\text{CO}_2\text{H}$, R1 = H) (II). Treatment of I (R = OH, R1 = OMe) with $\text{ClCH}_2\text{CO}_2\text{H}$ in Me_2CO in the presence of K_2CO_3 and KI gave 81% I (R = $\text{OCH}_2\text{CO}_2\text{H}$, R1 = OMe) (III). Ester, hydrazide, and amide derivs. of II and III were prepared. III has analgesic activity at ED_{50} 10 $\mu\text{g/g}$. Other I were inactive.

IT 33688-68-5P 33688-69-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

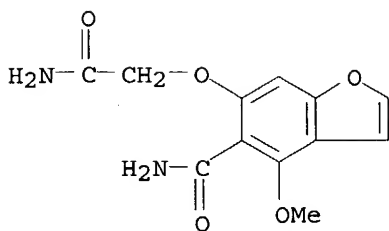
RN 33688-68-5 CAPLUS

CN 5-Benzofurancarboxamide, 6-(carbamoylmethoxy)-4,7-dimethoxy- (8CI) (CA INDEX NAME)



RN 33688-69-6 CAPLUS

CN 5-Benzofurancarboxamide, 6-(carbamoylmethoxy)-4-methoxy- (8CI) (CA INDEX NAME)



L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1958:6374 CAPLUS

DOCUMENT NUMBER: 52:6374

ORIGINAL REFERENCE NO.: 52:1156b-g

TITLE: Ethers of khellinone and their conversion to dimethoxybenzodifuran derivatives

AUTHOR(S): Musante, Carlo

CORPORATE SOURCE: Univ. Trieste, Italy

SOURCE: Gazzetta Chimica Italiana (1957), 87, 470-84
CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

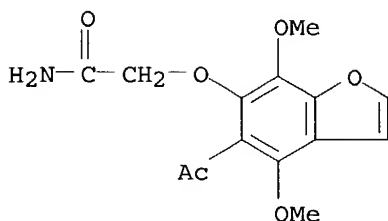
GI For diagram(s), see printed CA Issue.

AB cf. C.A. 51, 6621a. Khellinone (I, R = OH) (II) with compds. of the type R'COCH₂Cl yields ethers (I, R = R'COCH₂O) which on dehydration cyclize to benzo[1,2-b,5,4-b']difuran derivs. (III). Thus, 2.07 g. II (prepared by degradation of khellin) in 38 cc. Me₂CO refluxed 8-10 hrs. with 2 cc. EtO₂CCH₂Cl and 4.5 g. K₂CO₃, filtered, and distilled yields I (R = EtO₂CCH₂O) (IV), b. 198°, saponified to the free acid, m. 113-14° (semicarbazone, m. 185-6°; Me ester, m. 170-2°). IV (1 g.) refluxed 1 hr. with 6 cc. Ac₂O and 1 g. AcONa, stirred with H₂O, extracted with ether, and the extract shaken with NaHCO₃, H₂O-washed, and stripped of the solvent gives 0.4 g. III (R' = H). Similarly, II and H₂NCOCH₂Cl yield I (R = H₂NCOCH₂O) (V), m. 135°, which, heated with 10% aqueous NaOH and then acidified, is converted to III (R' = HO₂C), m. 237°. V refluxed 1 hr. with Ac₂O and AcONa gives III (R' = AcNHCO), m. 168°, which, heated 1 hr. with aqueous NaOH, yields III (R' = H₂NCO), m. 171-2°. II (2.36 g.) in 40 cc. Me₂CO refluxed 8 hrs. with 2 g. BzCH₂Br and 4 g. K₂CO₃, filtered, and stirred with water gives directly III (R' = Bz), m. 126°, oxidized with permanganate to BzOH and (CO₂H)₂. Similarly, 2.5 g. II and 2 g. p-MeOC₆H₄COCH₂Cl yield III (R' = p-MeOC₆H₄CO), m. 136-7° (p-nitrophenylhydrazones, m. 202-4°), oxidized by permanganate to anisic and oxalic acids. II (2 g.) and 2.3 g. p-PhC₆H₄COCH₂Br yield III (R = p-PhC₆H₄CO), m. 193-5°, oxidized by permanganate to p-C₆H₄(CO₂H)₂ and (CO₂H)₂. II and PhNHCOCH₂Cl yield I (R = PhNHCOCH₂O), m. 95°, which is not cyclized by Ac₂O, but by refluxing with aqueous NaOH, acidifying, recrystg. from EtOH, and drying over H₂SO₄ gives III (R' = PhNHCO). II and Et₂NCH₂CH₂Cl yield I (R = Et₂NCH₂CH₂O) (picrate, m. 111°), and Me₂NCH₂CH₂Cl gives I (R = Me₂NCH₂CH₂O) (picrate, m. 113°); these 2 compds. are not cyclized by Ac₂O.

IT 71960-37-7, Acetamide, 2-(5-acetyl-4,7-dimethoxy-6-benzofuranyloxy) - (preparation of)

RN 71960-37-7 CAPLUS

CN Acetamide, 2-[(5-acetyl-4,7-dimethoxy-6-benzofuranyl)oxy] - (6CI, 9CI) (CA INDEX NAME)



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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:353447 CAPLUS

DOCUMENT NUMBER: 136:369719

TITLE: Preparation of cholesterol lowering benzo[b]thiophenyl and benzo[d]isothiazolyl alkylamines

INVENTOR(S): Aebi, Johannes; Ackermann, Jean; Dehmlow, Henrietta; Maerki, Hans-Peter; Morand, Olivier

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

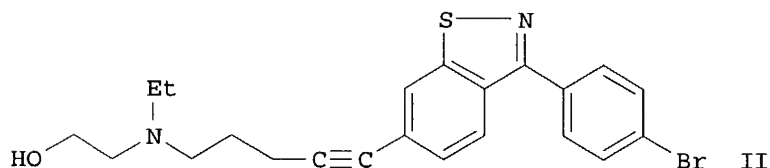
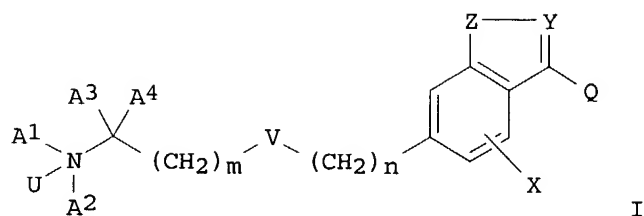
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036584	A1	20020510	WO 2001-EP12451	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014029	A5	20020515	AU 2002-14029	20011026
BR 2001015075	A	20030729	BR 2001-15075	20011026
EP 1334094	A1	20030813	EP 2001-982445	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513124	T2	20040430	JP 2002-539343	20011026
US 2002086891	A1	20020704	US 2001-999424	20011031
PRIORITY APPLN. INFO.:				
EP 2000-123826 A 20001102				
WO 2001-EP12451 W 20011026				

OTHER SOURCE(S): MARPAT 136:369719

GI

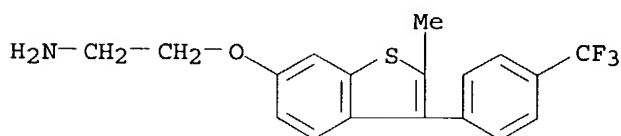


AB Title compds. I [wherein U = O or a lone pair; A1 = H or (un)substituted alkyl or alkenyl; A2 = cycloalkylalkyl, alkenyl, alkynyl, heteroaryl, or (un)substituted alkyl; or NA1A2 = (un)substituted heterocyclyl; A3 and A4 = independently H or alkyl; or CA3A4 = (un)substituted cycloalkyl; V = CH:CH and m and n = 0; or V = CH2 and m+n ≤ 2; or V = O or NR2 and m = 1-6 and n = 1-6 and m+n ≤ 7 or m = 1-3 and n = 0; or V = S and m = 1-7 and n = 0-6 and m+n ≤ 7; or V = C.tplbond.C and m = 0-7 and n = 0-7 and m+n ≤ 7; X = H, halo, or alkyl; Y = N or CR1; Z = S or SO2; Q = cycloalkyl(alkyl) or (un)substituted Ph; and pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof] were prepared as 2,3-oxidosqualene-lanosterol cyclase (OSC) inhibitors. For example, trifluoromethanesulfonic acid 3-(4-bromophenyl)benzo[d]isothiazol-6-yl ester (prepared in a multi-step synthesis starting from 4-bromobenzoyl chloride, 3-fluoroanisole, and benzyl mercaptan) was coupled with 4-pentyn-1-ol using PdCl2(PPh3)2 and TEA in THF (72%). The alc. was converted to the methanesulfonate and aminated with 2-(ethylamino)ethanol to afford II. Preferred compds. of the invention inhibited human liver microsomal OSC with IC50 values of 1 nM to 10 μM. I are useful for the treatment and/or prophylaxis of hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycoses, parasite infections, gallstones, tumors and/or hyperproliferative disorders, and treatment and/or prophylaxis of impaired glucose tolerance, and diabetes (no data).

IT **423163-76-2P**, [2-[[2-Methyl-3-(4-trifluoromethylphenyl)benzo[b]thiophen-6-yl]oxy]ethyl]amine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (cholesterol lowering agent; preparation of cholesterol lowering benzo[b]thiophenyl and benzo[d]isothiazolyl alkylamines with OSC inhibiting activity)

RN 423163-76-2 CAPLUS

CN Ethanamine, 2-[[2-methyl-3-[4-(trifluoromethyl)phenyl]benzo[b]thien-6-yl]oxy]- (9CI) (CA INDEX NAME)



06/17/2004

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:816638 CAPLUS

DOCUMENT NUMBER: 135:357839

TITLE: Preparation of bicyclic compounds such as benzofuran, indole, benzothiofuran, and indene derivatives of phenylethanamine as β adrenoreceptor agonists

INVENTOR(S): Ikuta, Shunichi; Miyoshi, Shiro; Ogawa, Kohei

PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

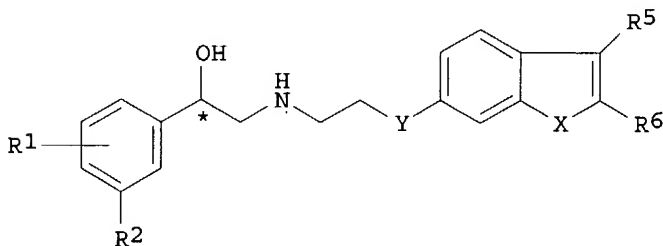
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083451	A1	20011108	WO 2001-JP3575	20010425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2001052574 A5 20011112 AU 2001-52574 20010425 EP 1277736 A1 20030122 EP 2001-925911 20010425 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2003191174 A1 20031009 US 2002-258817 20021028 PRIORITY APPLN. INFO.: JP 2000-130414 A 20000428 WO 2001-JP3575 W 20010425 OTHER SOURCE(S): MARPAT 135:357839 GI				



I

AB Compds. of the general formula (I) or salts thereof [wherein R1 is hydrogen, hydroxy, or halo; R2 is NHSO₂R₃, SO₂NR₄R₄' (wherein R₃ is C1-6 alkyl, benzyl, Ph, or NR₄R₄'; R₄, R₄' = H or C1-6 alkyl); R₅ and R₆ are each independently hydrogen, C1-6 alkyl, optionally substituted Ph, or benzyl; X is NH, sulfur, oxygen, or methylene; Y is oxygen, NR₇, sulfur, methylene, or a bond (wherein R₇ is H, C1-6 alkyl, or C1-6 acyl); and *

represents an asym. carbon atom.] are prepared These compds. exhibit a potent and selective stimulating activity for human β_3 adrenoreceptor with very little effect on increasing heart beat of guinea pigs and are useful as preventive and therapeutic drugs for diabetes, obesity, hyperlipidemia, digestive system diseases, depression, and urinary disorders. Thus, N-(3-bromoacetylphenyl)methanesulfonamide, 2-(2,3-dimethyl-1H-indol-6-yloxy)ethylamine, and Et₃N were added to DMF, stirred at room temperature for 1 h, treated with a solution of NaBH₄ in ethanol,

and stirred at room temperature for 5 h to give, after purification on a reversed

phase column, N-[3-[2-[[2-(2,3-dimethyl-1H-indol-6-yloxy)ethyl]amino]-1-hydroxyethyl]phenyl]methanesulfonamide trifluoroacetate salt (II). II was as potent as isoproterenol for stimulating the production of cAMP in CHO cell line expressing human β_3 adrenoreceptor (Ed₅₀ of 8.7 nM).

IT 372093-05-5P, 2-(2,3-Dimethyl-1H-indol-6-yloxy)ethylamine

372094-15-0P, 6-(2-Aminoethoxy)-2,3-dimethylbenzofuran

hydrobromide 372094-24-1P, 6-(2-Aminoethoxy)-2,3-

dimethylbenzothiophene hydrobromide 372094-69-4P

372094-72-9P, 2-(2,3-Dimethylbenzofuran-6-yloxy)ethylamine

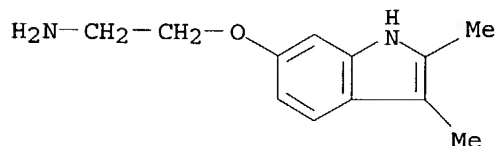
372094-77-4P, 2-(2,3-Dimethylbenzothiophen-6-yloxy)ethylamine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic compds. such as benzofuran, indole, benzothiofuran, and indoline derivs. of phenylethanolamine as β adrenoreceptor agonists and preventive and therapeutic drugs)

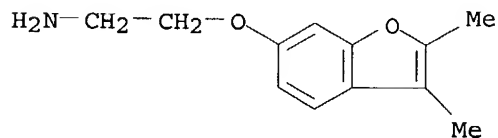
RN 372093-05-5 CAPLUS

CN Ethanamine, 2-[(2,3-dimethyl-1H-indol-6-yl)oxy]- (9CI) (CA INDEX NAME)



RN 372094-15-0 CAPLUS

CN Ethanamine, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-, hydrobromide (9CI) (CA INDEX NAME)

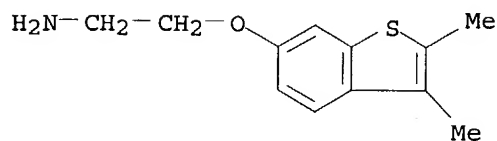


● HBr

RN 372094-24-1 CAPLUS

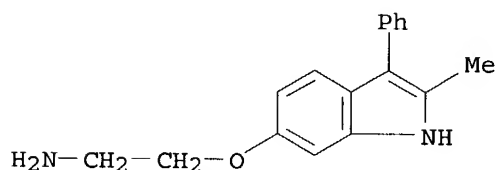
CN Ethanamine, 2-[(2,3-dimethylbenzo[b]thien-6-yl)oxy]-, hydrobromide (9CI) (CA INDEX NAME)

06/17/2004

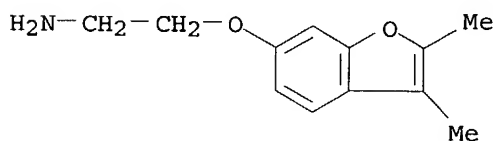


● HBr

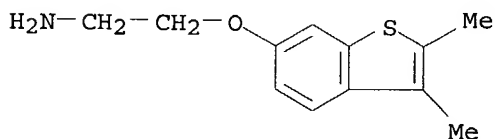
RN 372094-69-4 CAPLUS
 CN Ethanamine, 2-[(2-methyl-3-phenyl-1H-indol-6-yl)oxy] - (9CI) (CA INDEX NAME)



RN 372094-72-9 CAPLUS
 CN Ethanamine, 2-[(2,3-dimethyl-6-benzofuranyl)oxy] - (9CI) (CA INDEX NAME)



RN 372094-77-4 CAPLUS
 CN Ethanamine, 2-[(2,3-dimethylbenzo[b]thien-6-yl)oxy] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:115623 CAPLUS

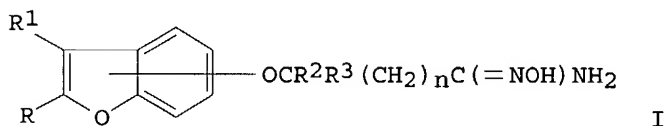
DOCUMENT NUMBER: 84:115623

TITLE: Benzofuran series. LVII.
 Benzofuryloxyalkylamidoximes as potential antidepressants

AUTHOR(S): Areschka, Alex; Mahaux, Jean M.; Verbruggen, Francois; Houben, Christian; Descamps, Marcel; Broll, Madeleine; Werbenec, Jean P.; Charlier, Robert; Simiand, Jacques;

10761265

Eymard, Pierre
 CORPORATE SOURCE: Cent. Rech., S. A. Labaz N. V., Brussels, Belg.
 SOURCE: European Journal of Medicinal Chemistry (1975), 10(4),
 398-407
 CODEN: EJMCA5; ISSN: 0223-5234
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 GI



AB Forty-eight title compds. (I; R, R1, R2, and R3 = H or alkyl; n = 0-2), in which the oxime-containing side chain is attached to either the homo- or the heterocyclic ring of the benzofuran moiety, were synthesized by the condensation of NH2OH [7803-49-8] with the appropriate benzofuryloxyalkylnitrile. The precursors for the latter were obtained by known procedures. None of the compds. had any antiinflammatory activity, but several possessed antidepressant action, as determined by standard tests;

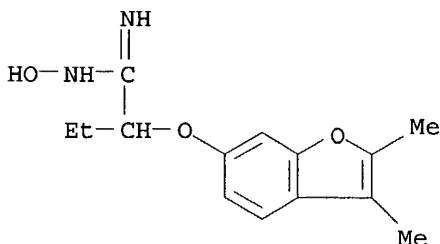
the most active was I-HCl (R = R1 = R3 = H; R2 = Me; n = 0; side chain at position 7; II) [58493-16-6]. In general, the 3 position of I was the most unfavorable location for the side chain. II had stronger antiserpine and antiamphetamine activities than the reference compound, imipramine [50-49-7]. It also had a stronger antitremorine (cholinergic) effect, and was not a monoamine oxidase inhibitor. These properties of II resemble those of the tricyclic antidepressants.

IT 57926-61-1P 57926-64-4P 57926-73-5P
 57926-91-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, antidepressants in relation to)

RN 57926-61-1 CAPLUS

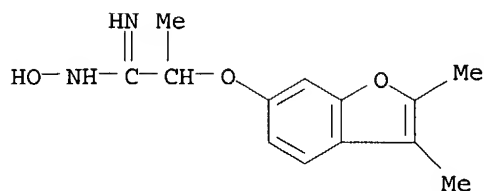
CN Butanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

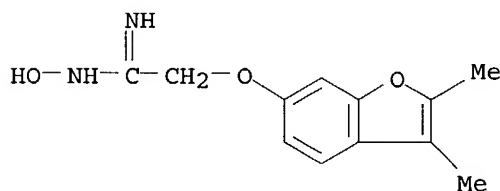
RN 57926-64-4 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
 monohydrochloride (9CI) (CA INDEX NAME)



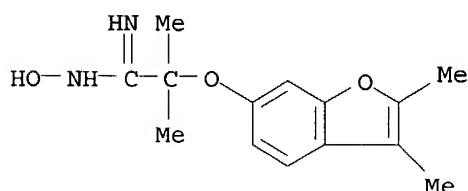
● HCl

RN 57926-73-5 CAPLUS

CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 57926-91-7 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:44076 CAPLUS

DOCUMENT NUMBER: 84:44076

TITLE: Amidoxime pharmaceutical

INVENTOR(S): Binon, Fernand; Eymard, Pierre L.

PATENT ASSIGNEE(S): Labaz, Fr.

SOURCE: Ger. Offen., 59 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2501122	A1	19750717	DE 1975-2501122	19750114
DE 2501122	B2	19810521		
DE 2501122	C3	19820318		
FR 2257273	A1	19750808	FR 1974-1286	19740115
US 3931240	A	19760106	US 1974-530076	19741206
FI 7403536	A	19750716	FI 1974-3536	19741209
FI 63746	B	19830429		
FI 63746	C	19830810		
DK 7406451	A	19750908	DK 1974-6451	19741211
DK 137953	C	19781218		
ZA 7408105	A	19760128	ZA 1974-8105	19741219
AU 7476653	A1	19760624	AU 1974-76653	19741219
GB 1462311	A	19770126	GB 1975-1202	19750110
HU 168062	P	19760228	HU 1975-LA853	19750113
NO 7500104	A	19750716	NO 1975-104	19750114
SE 7500360	A	19750716	SE 1975-360	19750114
SE 422202	B	19820222		
SE 422202	C	19820603		
NL 7500399	A	19750717	NL 1975-399	19750114
NL 166020	B	19810115		
NL 166020	C	19810615		
SU 545254	D	19770130	SU 1975-2100651	19750114
CH 593245	A	19771130	CH 1975-362	19750114
CA 1037960	A1	19780905	CA 1975-217910	19750114
ES 433816	A1	19760916	ES 1975-433816	19750115
AT 7500268	A	19770515	AT 1975-268	19750115
AT 340912	B	19780110		
JP 50101348	A2	19750811	JP 1975-7658	19750116
JP 54001301	B4	19790123		
US 3984470	A	19761005	US 1975-599355	19750728
			FR 1974-1286	19740115
			US 1974-530076	19741206

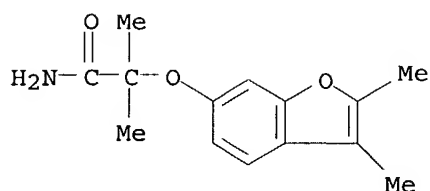
PRIORITY APPLN. INFO.:

AB Antidepressant oximes ROCHR1(CH2)nC(:NOH)NH2 (I, R = naphthyl, benzofuryl, benzodioxanyl, benzothienyl; R1 = H, n = 0-4; R1 = Me, n = 0) were prepared Thus, I (R = 2,3-dimethyl-7-benzofuryl, R1 = H, n = 1) (II) was obtained by treating ROH with BrCH2CH2CO2Et, aminating ROCH2CH2CO2Et, dehydrating ROCH2CH2CONH2, and treating ROCH2CH2CN with NH2OH. II at 50 mg/kg orally in mice potentiated yohimbine toxicity by 40%.

IT **57926-20-2P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and dehydration of)

RN 57926-20-2 CAPLUS

CN Propanamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-2-methyl- (9CI) (CA INDEX NAME)

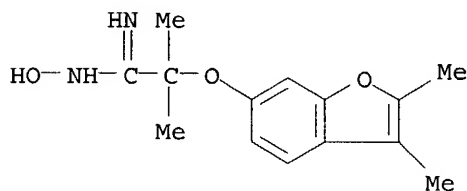


IT 57925-67-4P 57925-83-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

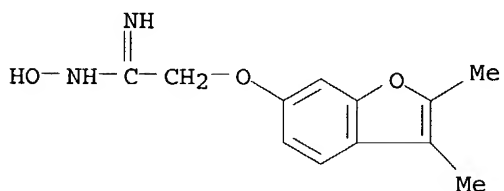
RN 57925-67-4 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



RN 57925-83-4 CAPLUS

CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy- (9CI) (CA INDEX NAME)

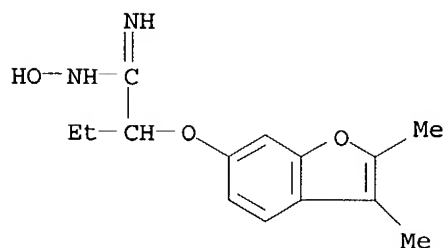


IT 57926-61-1P 57926-64-4P 57926-73-5P
57926-91-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

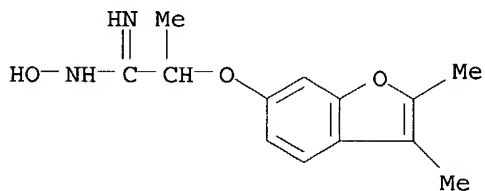
RN 57926-61-1 CAPLUS

CN Butanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



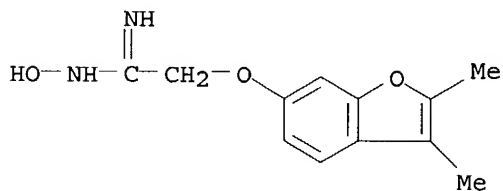
● HCl

RN 57926-64-4 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 57926-73-5 CAPLUS

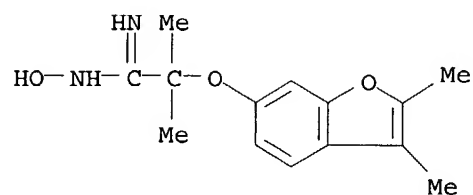
CN Ethanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 57926-91-7 CAPLUS

CN Propanimidamide, 2-[(2,3-dimethyl-6-benzofuranyl)oxy]-N-hydroxy-2-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)

06/17/2004



● HCl

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.42

603.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-18.02

STN INTERNATIONAL LOGOFF AT 12:28:37 ON 17 JUN 2004